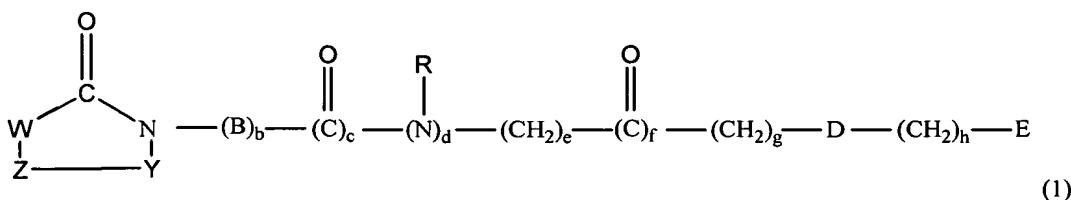


## Amendments

21. (Three Times Amended) A method for suppressing inflammation comprising administering to a subject in need thereof an effective amount of a preparation comprising an effective amount of at least one compound of the formula I:



in which

W is  $\text{R}^1\text{-A-C(R}^{13}\text{)}$ ;

Y is a carbonyl;

Z is  $\text{N(R}^0\text{)}$ ;

A is a bivalent radical selected from the group consisting of  $(\text{C}_1\text{-C}_6)\text{-alkylene}$ ,  $(\text{C}_3\text{-C}_7)\text{-cycloalkylene}$ , phenylene, phenylene- $(\text{C}_1\text{-C}_6)\text{-alkyl}$ ,  $(\text{C}_1\text{-C}_6)\text{-alkylenepheryl}$ , phenylene- $(\text{C}_2\text{-C}_6)\text{-alkenyl}$  or a bivalent radical of a 5- or 6-membered saturated or unsaturated ring which can contain 1 or 2 nitrogen atoms and can be mono- or disubstituted by  $(\text{C}_1\text{-C}_6)$  alkyl or doubly bonded oxygen or sulfur;

B is a bivalent radical selected from the group consisting of  $(\text{C}_1\text{-C}_6)\text{-alkylene}$ ,  $(\text{C}_2\text{-C}_6)\text{-alkenylene}$ , phenylene, phenylene- $(\text{C}_1\text{-C}_3)\text{-alkyl}$ ,  $(\text{C}_1\text{-C}_3)\text{-alkylenepheryl}$  where the bivalent  $(\text{C}_1\text{-C}_6)\text{-alkylene}$  radical can be unsubstituted or substituted by a radical selected from the group consisting of  $(\text{C}_1\text{-C}_8)\text{-alkyl}$ ,  $(\text{C}_2\text{-C}_8)\text{-alkenyl}$ ,  $(\text{C}_2\text{-C}_8)\text{-alkynyl}$ ,  $(\text{C}_3\text{-C}_{10})\text{-cycloalkyl}$ ,  $(\text{C}_3\text{-C}_{10})\text{-cycloalkyl-(C}_1\text{-C}_6)\text{-alkyl}$ , optionally substituted  $(\text{C}_6\text{-C}_{14})\text{-aryl}$ ,  $(\text{C}_6\text{-C}_{14})\text{-aryl-(C}_1\text{-C}_6)\text{-alkyl}$  optionally substituted in the aryl radical, optionally substituted heteroaryl and heteroaryl- $(\text{C}_1\text{-C}_6)\text{-alkyl}$  optionally substituted in the heteroaryl radical;

D is  $\text{C(R}^2\text{)(R}^3\text{)}$ ,  $\text{N(R}^3\text{)}$  or  $\text{CH=C(R}^3\text{)}$ ;

E is  $\text{R}^{10}\text{CO}$ ;

R is hydrogen, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical;

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*cont'd*  
R<sup>0</sup> is (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl, (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical, optionally substituted heteroaryl, heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the heteroaryl radical, CHO, (C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO, (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl-CO, (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl-CO, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl-CO, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl-CO, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO optionally substituted in the aryl radical, optionally substituted heteroaryl-CO, heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO optionally substituted in the heteroaryl radical, (C<sub>1</sub>-C<sub>8</sub>)-alkyl-S(O)<sub>n</sub>, (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl-S(O)<sub>n</sub>, (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-S(O)<sub>n</sub>, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl-S(O)<sub>n</sub>, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-S(O)<sub>n</sub>, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl-S(O)<sub>n</sub>, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-S(O)<sub>n</sub>, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl-S(O)<sub>n</sub>, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-S(O)<sub>n</sub> optionally substituted in the aryl radical, optionally substituted heteroaryl-S(O)<sub>n</sub> or heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-S(O)<sub>n</sub> optionally substituted in the heteroaryl radical, where n is 1 or 2;

R<sup>1</sup> is X-NH-C(=NH)-(CH<sub>2</sub>)<sub>p</sub> or X<sup>1</sup>-NH-(CH<sub>2</sub>)<sub>p</sub>, where p is 0, 1, 2 or 3;

X is hydrogen, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkylcarbonyl, (C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylcarbonyloxy-(C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-arylcarbonyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryloxycarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl which can also be substituted in the aryl radical, (R<sup>8</sup>O)<sub>2</sub>P(O), cyano, hydroxyl (C<sub>1</sub>-C<sub>6</sub>)-alkoxy, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>6</sub>)-alkoxy which can also be substituted in the aryl radical, or amino;

X<sup>1</sup> is optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-arylcarbonyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryloxycarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl optionally substituted in the aryl radical, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>6</sub>)-alkoxy optionally substituted in the aryl radical, or R'-NH-C(=N-R''), where R' and R'' independently of one another have the meanings of X;

$R^2$  is hydrogen, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical or (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl;

$R^3$  is hydrogen, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, (C<sub>2</sub>-C<sub>8</sub>)-alkenyl, (C<sub>2</sub>-C<sub>8</sub>)-alkynyl, (C<sub>2</sub>-C<sub>8</sub>)-alkenylcarbonyl, (C<sub>2</sub>-C<sub>8</sub>)-alkynylcarbonyl, pyridyl, R<sup>11</sup>NH, R<sup>4</sup>CO, COOR<sup>4</sup>, CON(CH<sub>3</sub>)R<sup>14</sup>, CONHR<sup>14</sup>, CSNHR<sup>14</sup>, COOR<sup>15</sup>, CON(CH<sub>3</sub>)R<sup>15</sup> or CONHR<sup>15</sup>;

$R^4$  is hydrogen or (C<sub>1</sub>-C<sub>28</sub>)-alkyl which can optionally be mono- or polysubstituted by identical or different radicals R<sup>4'</sup>; R<sup>4'</sup> is hydroxyl, hydroxycarbonyl, aminocarbonyl, mono- or di-((C<sub>1</sub>-C<sub>18</sub>)-alkyl)aminocarbonyl, amino-(C<sub>2</sub>-C<sub>18</sub>)-alkylaminocarbonyl, amino-(C<sub>1</sub>-C<sub>3</sub>)-alkylphenyl-(C<sub>1</sub>-C<sub>3</sub>)-alkylaminocarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylcarbonylamino-(C<sub>1</sub>-C<sub>3</sub>)-alkylphenyl-(C<sub>1</sub>-C<sub>3</sub>)-alkylaminocarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylcarbonylamino-(C<sub>2</sub>-C<sub>18</sub>)-alkylaminocarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkoxycarbonyl which can also be substituted in the aryl radical, amino, mercapto, (C<sub>1</sub>-C<sub>18</sub>)-alkoxy, (C<sub>1</sub>-C<sub>18</sub>)-alkoxycarbonyl, optionally substituted (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, halogen, nitro, trifluoromethyl or the radical R<sup>5</sup>;

$R^5$  is optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical, a mono- or bicyclic 5- to 12-membered heterocyclic ring which can be aromatic, partially hydrogenated or completely hydrogenated and which can contain one, two or three identical or different heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur, a radical R<sup>6</sup> or a radical R<sup>6</sup>CO-, where the aryl radical and, independently thereof, the heterocyclic radical can be mono- or polysubstituted by identical or different radicals selected from the group consisting of (C<sub>1</sub>-C<sub>18</sub>)-alkyl, (C<sub>1</sub>-C<sub>18</sub>)-alkoxy, halogen, nitro, amino and trifluoromethyl;

$R^6$  is R<sup>7</sup>R<sup>8</sup>N, R<sup>7</sup>O or R<sup>7</sup>S or an amino acid side chain, a natural or unnatural amino acid, imino acid, optionally N-(C<sub>1</sub>-C<sub>8</sub>)-alkylated or N-((C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkylated) azaamino acid or a dipeptide radical which can also be substituted in the aryl radical and/or in which the peptide bond can be reduced to -NH-CH<sub>2</sub>-, and their esters and amides, where hydrogen or hydroxymethyl can optionally stand in place of optionally protected free functional groups;

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R<sup>7</sup> is hydrogen, (C<sub>1</sub>-C<sub>18</sub>)-alkyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>1</sub>-C<sub>18</sub>)-alkoxycarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkoxycarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-arylcabonyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkylcarbonyl or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>18</sub>)-alkyloxycarbonyl, where the alkyl groups can optionally be substituted by an amino group and/or where the aryl radicals can be mono- or polysubstituted by identical or different radicals selected from the group consisting of (C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>1</sub>-C<sub>8</sub>)-alkoxy, halogen, nitro, amino and trifluoromethyl, or is a natural or unnatural amino acid, imino acid, optionally N-(C<sub>1</sub>-C<sub>8</sub>)-alkylated or N-((C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkylated) azaamino acid or a dipeptide radical which can also be substituted in the aryl radical and/or in which the peptide bond can be reduced to -NH-CH<sub>2</sub>-;

R<sup>8</sup> is hydrogen, (C<sub>1</sub>-C<sub>18</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl which can also be substituted in the aryl radical;

R<sup>9</sup> is hydrogen, aminocarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylaminocarbonyl, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkylaminocarbonyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-arylaminocarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl or (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl;

R<sup>10</sup> is hydroxyl, (C<sub>1</sub>-C<sub>18</sub>)-alkoxy, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkoxy which can also be substituted in the aryl radical, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryloxy, amino or mono- or di-((C<sub>1</sub>-C<sub>18</sub>)-alkyl)amino;

R<sup>11</sup> hydrogen, (C<sub>1</sub>-C<sub>18</sub>)-alkyl, R<sup>12</sup>CO, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl-S(O)<sub>2</sub>, (C<sub>1</sub>-C<sub>18</sub>)-alkyl-S(O)<sub>2</sub>, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical or R<sup>9</sup>NHS(O)<sub>2</sub>;

R<sup>12</sup> is hydrogen, (C<sub>1</sub>-C<sub>18</sub>)-alkyl, (C<sub>2</sub>-C<sub>8</sub>)-alkenyl, (C<sub>2</sub>-C<sub>8</sub>)-alkynyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>1</sub>-C<sub>18</sub>)-alkoxy, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkoxy which can also be substituted in the aryl radical, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryloxy, amino or mono- or di-((C<sub>1</sub>-C<sub>18</sub>)-alkyl)amino;

R<sup>13</sup> is hydrogen, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical or (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl;

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$R^{14}$  is hydrogen or  $(C_1-C_{28})$ -alkyl which can optionally be mono- or polysubstituted by identical or different radicals selected from the group consisting of hydroxyl, hydroxycarbonyl, aminocarbonyl, mono- or di- $((C_1-C_{18})$ -alkyl)-aminocarbonyl, amino- $(C_2-C_{18})$ -alkylaminocarbonyl, amino- $(C_1-C_3)$ -alkylphenyl- $(C_1-C_3)$ -alkylaminocarbonyl,  $(C_1-C_{18})$ -alkylcarbonylamino- $(C_1-C_3)$ -alkylphenyl- $(C_1-C_3)$ -alkylaminocarbonyl,  $(C_1-C_{18})$ -alkylcarbonyl-amino- $(C_2-C_{18})$ -alkylaminocarbonyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkoxycarbonyl which can also be substituted in the aryl radical, amino, mercapto,  $(C_1-C_{18})$ -alkoxy,  $(C_1-C_{18})$ -alkoxycarbonyl, optionally substituted  $(C_3-C_8)$ -cycloalkyl,  $HOS(O)_2-(C_1-C_3)$ -alkyl,  $R^9NHS(O)_2-(C_1-C_3)$ -alkyl,  $(R^8O)_2P(O)-(C_1-C_3)$ -alkyl, tetrazolyl- $(C_1-C_3)$ -alkyl, halogen, nitro, trifluoromethyl and  $R^5$ ;

$R^{15}$  is  $R^{16}-(C_1-C_6)$ -alkyl or  $R^{16}$ ;

$R^{16}$  is a 6- to 24-membered bicyclic or tricyclic radical which is saturated or partially unsaturated and which can also contain one to four identical or different heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur and which can also be substituted by one or more identical or different substituents selected from the group consisting of  $(C_1-C_4)$ -alkyl and oxo;

b, c, and d are 1;

e is 0, 1, 2, 3, 4, 5 or 6;

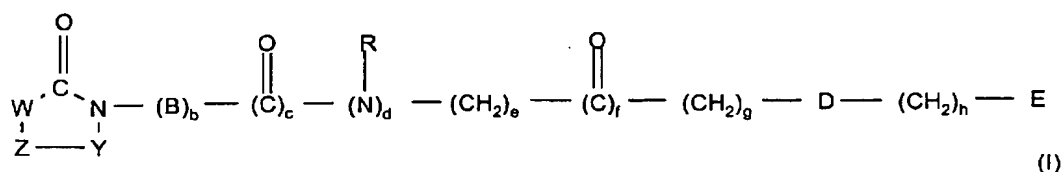
f is 0;

g is 0, 1, 2, 3, 4, 5 or 6;

h is 0, 1, 2, 3, 4, 5 or 6;

in all their stereoisomeric forms and mixtures thereof in any ratio, and of their physiologically tolerable salts.

22. (Twice Amended) A method for antagonizing VLA-4 comprising administering to a subject in need thereof an effective amount of a preparation comprising an effective amount of at least one compound of the formula I:



in which

W is  $R^1-A-C(R^{13})$ ;

Y is a carbonyl;

Z is  $N(R^0)$ ;

A is a bivalent radical selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)-alkylene, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkylene, phenylene, phenylene-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkylenephenyl, phenylene-(C<sub>2</sub>-C<sub>6</sub>)-alkenyl or a bivalent radical of a 5- or 6-membered saturated or unsaturated ring which can contain 1 or 2 nitrogen atoms and can be mono- or disubstituted by (C<sub>1</sub>-C<sub>6</sub>)-alkyl or doubly bonded oxygen or sulfur;

B is a bivalent radical selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)-alkylene, (C<sub>2</sub>-C<sub>6</sub>)-alkenylene, phenylene, phenylene-(C<sub>1</sub>-C<sub>3</sub>)-alkyl, (C<sub>1</sub>-C<sub>3</sub>)-alkylenephenyl, where the bivalent (C<sub>1</sub>-C<sub>6</sub>)-alkylene radical can be unsubstituted or substituted by a radical selected from the group consisting of (C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>2</sub>-C<sub>8</sub>)-alkenyl, (C<sub>2</sub>-C<sub>8</sub>)-alkynyl, (C<sub>3</sub>-C<sub>10</sub>)-cycloalkyl, (C<sub>3</sub>-C<sub>10</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>6</sub>)-alkyl optionally substituted in the aryl radical, optionally substituted heteroaryl and heteroaryl-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, optionally substituted in the heteroaryl radical;

D is  $C(R^2)(R^3)$ ,  $N(R^3)$  or  $CH=C(R^3)$ ;

E is  $R^{10}CO$ ;

R is hydrogen, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl or -(C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical;

$R^0$  is (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl, (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl,

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optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical, optionally substituted heteroaryl, heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the heteroaryl radical, CHO, (C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO, (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl-CO, (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl-CO, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl-CO, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl-CO, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO optionally substituted in the aryl radical, optionally substituted heteroaryl-CO, heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO optionally substituted in the heteroaryl radical, (C<sub>1</sub>-C<sub>8</sub>)-alkyl-S(O)<sub>n</sub>, (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl-S(O)<sub>n</sub>, (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-S(O)<sub>n</sub>, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl-S(O)<sub>n</sub>, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-S(O)<sub>n</sub>, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl-S(O)<sub>n</sub>, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-S(O)<sub>n</sub>, optional substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl-S(O)<sub>n</sub>, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-S(O)<sub>n</sub>, optionally substituted in the aryl radical, optionally substituted heteroaryl-S(O)<sub>n</sub> or heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-S(O)<sub>n</sub> optionally substituted in the heteroaryl radical, where n is 1 or 2;

R<sup>1</sup> is X-NH-C(=NH)-(CH<sub>2</sub>)<sub>p</sub> or X<sup>1</sup>-NH-(CH<sub>2</sub>)<sub>p</sub>, where p is 0, 1, 2 or 3;

X is hydrogen, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkylcarbonyl, (C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylcarbonyloxy-(C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-arylcarbonyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryloxycarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl which can also be substituted in the aryl radical, (R<sup>8</sup>O)<sub>2</sub>P(O), cyano, hydroxyl, (C<sub>1</sub>-C<sub>6</sub>)-alkoxy, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>6</sub>)-alkoxy which can also be substituted in the aryl radical, or amino;

X<sup>1</sup> has one of the meanings of X or is R'-NH-C(=N-R''), where R' and R'' independently of one another have the meanings of X;

R<sup>2</sup> is hydrogen, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical or (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl;

R<sup>3</sup> is hydrogen, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, (C<sub>2</sub>-C<sub>8</sub>)-alkenyl, (C<sub>2</sub>-C<sub>8</sub>)-alkynyl, (C<sub>2</sub>-C<sub>8</sub>)-alkenylcarbonyl, (C<sub>2</sub>-C<sub>8</sub>)-alkynylcarbonyl, pyridyl,

$R^{11}NH$ ,  $R^4CO$ ,  $COOR^4$ ,  $CON(CH_3)R^{14}$ ,  $CONHR^{14}$ ,  $CSNHR^{14}$ ,  $COOR^{15}$ ,  $CON(CH_3)R^{15}$  or  $CONHR^{15}$ ;

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 $R^4$  is hydrogen or  $(C_1-C_{28})$ -alkyl which can optionally be mono- or polysubstituted by identical or different radicals  $R^4$ ;  $R^4$  is hydroxyl, hydroxycarbonyl, aminocarbonyl, mono- or di- $((C_1-C_{18})$ -alkyl)aminocarbonyl, amino- $(C_2-C_{18})$ -alkylaminocarbonyl, amino- $(C_1-C_3)$ -alkylphenyl- $(C_1-C_3)$ -alkylaminocarbonyl,  $(C_1-C_{18})$ -alkylcarbonylamino- $(C_1-C_3)$ -alkylphenyl- $(C_1-C_3)$ -alkylaminocarbonyl,  $(C_1-C_{18})$ -alkylcarbonylamino- $(C_2-C_{18})$ -alkylaminocarbonyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkoxycarbonyl which can also be substituted in the aryl radical, amino, mercapto,  $-(C_2-C_{18})$ -alkoxy,  $(C_1-C_{18})$ -alkoxycarbonyl, optionally substituted  $-(C_3-C_8)$ -cycloalkyl, halogen, nitro, trifluoromethyl or the radical  $R^5$ ;

$R^5$  is optionally substituted  $(C_6-C_{14})$ -aryl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical, a mono- or bicyclic 5- to 12-membered heterocyclic ring which can be aromatic, partially hydrogenated or completely hydrogenated and which can contain one, two or three identical or different heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur, a radical  $R^6$  or a radical  $R^6CO$ , where the aryl radical and, independently thereof, the heterocyclic radical can be mono- or polysubstituted by identical or different radicals selected from the group consisting of  $(C_1-C_{18})$ -alkyl,  $(C_1-C_{18})$ -alkoxy, halogen, nitro, amino and trifluoromethyl;

$R^6$  is  $R^7R^8N$ ,  $R^7O$  or  $R^7S$  or an amino acid side chain, a natural or unnatural amino acid, imino acid, optionally  $N-(C_1-C_8)$ -alkylated or  $N-((C_6-C_{14})$ -aryl- $(C_1-C_{18})$ -alkylated) azaamino acid or a dipeptide radical which can also be substituted in the aryl radical and/or in which the peptide bond can be reduced to  $-NH-CH_2-$ , and their esters and amides, where hydrogen or hydroxymethyl can optionally stand in place of optionally protected free functional groups;

$R^7$  is hydrogen,  $(C_1-C_{18})$ -alkyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl,  $(C_1-C_{18})$ -alkylcarbonyl,  $(C_1-C_{18})$ -alkoxycarbonyl,  $(C_6-C_{14})$ -arylcarbonyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkylcarbonyl or  $(C_6-C_{14})$ -aryl- $(C_1-C_{18})$ -alkyloxycarbonyl, where the alkyl groups can optionally be substituted by an amino group and/or where the aryl radicals can be mono- or polysubstituted by identical or different radicals selected from the group consisting of  $(C_1-C_8)$ -alkyl,  $(C_1-C_8)$ -alkoxy,

halogen, nitro, amino and trifluoromethyl, or is a natural or unnatural amino acid, imino acid, optionally N-(C<sub>1</sub>-C<sub>8</sub>)-alkylated or N-((C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkylated) azaamino acid or a dipeptide radical which can also be substituted in the aryl radical and/or in which the peptide bond can be reduced to -NH-CH<sub>2</sub>-;

R<sup>8</sup> is hydrogen, (C<sub>1</sub>-C<sub>18</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl which can also be substituted in the aryl radical;

R<sup>9</sup> is hydrogen, aminocarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylaminocarbonyl, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkylaminocarbonyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-arylaminocarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl or (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl;

R<sup>10</sup> is hydroxyl, (C<sub>1</sub>-C<sub>18</sub>)-alkoxy, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkoxy which can also be substituted in the aryl radical, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryloxy, amino or mono- or di-((C<sub>1</sub>-C<sub>18</sub>)-alkyl)amino;

R<sup>11</sup> is hydrogen, (C<sub>1</sub>-C<sub>18</sub>)-alkyl, R<sup>12</sup>CO, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl-S(O)<sub>2</sub>, (C<sub>1</sub>-C<sub>18</sub>)-alkyl-S(O)<sub>2</sub>, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical or R<sup>9</sup>NHS(O)<sub>2</sub>;

R<sup>12</sup> is hydrogen, (C<sub>1</sub>-C<sub>18</sub>)-alkyl, (C<sub>2</sub>-C<sub>8</sub>)-alkenyl, (C<sub>2</sub>-C<sub>8</sub>)-alkynyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>1</sub>-C<sub>18</sub>)-alkoxy, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkoxy which can also be substituted in the aryl radical, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryloxy, amino or mono- or di-((C<sub>1</sub>-C<sub>18</sub>)-alkyl)amino;

R<sup>13</sup> is hydrogen, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical or (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl;

R<sup>14</sup> is hydrogen or (C<sub>1</sub>-C<sub>28</sub>)-alkyl which can optionally be mono- or polysubstituted by identical or different radicals selected from the group consisting of hydroxyl, hydroxycarbonyl, aminocarbonyl, mono- or di-((C<sub>1</sub>-C<sub>18</sub>)-alkyl)-aminocarbonyl, amino-(C<sub>2</sub>-C<sub>18</sub>)-alkylaminocarbonyl, amino-(C<sub>1</sub>-C<sub>3</sub>)-alkylphenyl-(C<sub>1</sub>-C<sub>3</sub>)-alkylaminocarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-

alkylcarbonylamino-(C<sub>1</sub>-C<sub>3</sub>)-alkylphenyl-(C<sub>1</sub>-C<sub>3</sub>)-alkylaminocarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylcarbonyl-amino-(C<sub>2</sub>-C<sub>18</sub>)-alkylaminocarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkoxycarbonyl which can also be substituted in the aryl radical, amino, mercapto, (C<sub>1</sub>-C<sub>18</sub>)-alkoxy, (C<sub>1</sub>-C<sub>18</sub>)-alkoxycarbonyl, optionally substituted (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, HOS(O)<sub>2</sub>-(C<sub>1</sub>-C<sub>3</sub>)-alkyl, R<sup>9</sup>NHS(O)<sub>2</sub>-(C<sub>1</sub>-C<sub>3</sub>)-alkyl, (R<sup>8</sup>O)<sub>2</sub>P(O)-(C<sub>1</sub>-C<sub>3</sub>)-alkyl, tetrazolyl-(C<sub>1</sub>-C<sub>3</sub>)-alkyl, halogen, nitro, trifluoromethyl and R<sup>5</sup>;

R<sup>5</sup> is R<sup>16</sup>-(C<sub>1</sub>-C<sub>6</sub>)-alkyl or R<sup>16</sup>;

R<sup>16</sup> is a 6- to 24-membered bicyclic or tricyclic radical which is saturated or partially unsaturated and which can also contain one to four identical or different heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur and which can also be substituted by one or more identical or different substituents selected from the group consisting of (C<sub>1</sub>-C<sub>4</sub>)-alkyl and oxo;

b, c, and d are 1;

e is 0, 1, 2, 3, 4, 5 or 6;

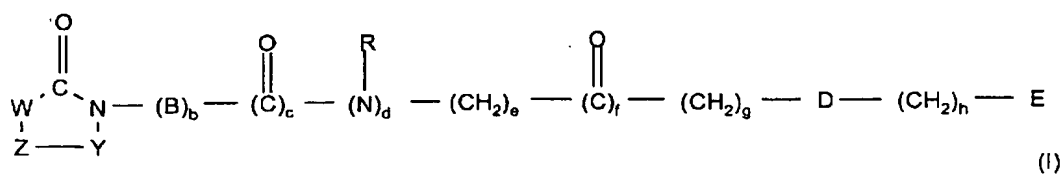
f is 0;

g is 0, 1, 2, 3, 4, 5 or 6;

h is 0, 1, 2, 3, 4, 5 or 6;

in all their stereoisomeric forms and mixtures thereof in any ratio, and of their physiologically tolerable salts.

23. (Three Times Amended) A method for treating a disease or disorder selected from the group consisting of rheumatoid arthritis, inflammatory bowel disease, systemic lupus erythematosus, inflammatory disorders of the central nervous system, asthma, allergies, cardiovascular disorders, arteriosclerosis, restenoses, diabetes, damage to organ transplants, and malaria comprising administering to a subject in need thereof an effective amount of a preparation comprising an effective amount of at least one compound of the formula I:



in which

W is R<sup>1</sup>-A-C(R<sup>13</sup>);

Y is a carbonyl;

Z is N(R<sup>0</sup>);

A is a bivalent radical selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)-alkylene, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkylene, phenylene, phenylene-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkylenephenyl, phenylene-(C<sub>2</sub>-C<sub>6</sub>)-alkenyl or a bivalent radical of a 5- or 6-membered saturated or unsaturated ring which can contain 1 or 2 nitrogen atoms and can be mono- or disubstituted by (C<sub>1</sub>-C<sub>6</sub>)-alkyl or doubly bonded oxygen or sulfur;

B is a bivalent radical selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)-alkylene, (C<sub>2</sub>-C<sub>6</sub>)-alkenylene, phenylene, phenylene-(C<sub>1</sub>-C<sub>3</sub>)-alkyl, (C<sub>1</sub>-C<sub>3</sub>)-alkylenephenyl, where the bivalent (C<sub>1</sub>-C<sub>6</sub>)-alkylene radical can be unsubstituted or substituted by a radical selected from the group consisting of (C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>2</sub>-C<sub>8</sub>)-alkenyl, (C<sub>2</sub>-C<sub>8</sub>)-alkynyl, (C<sub>3</sub>-C<sub>10</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>6</sub>)-alkyl optionally substituted in the aryl radical, optionally substituted heteroaryl and heteroaryl (C<sub>1</sub>-C<sub>6</sub>)-alkyl optionally substituted in the heteroaryl radical;

D is C(R<sup>2</sup>)(R<sup>3</sup>), N(R<sup>3</sup>) or CH=C(R<sup>3</sup>);

E is R<sup>10</sup>CO;

R is hydrogen, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical;

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 $R^0$  is (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl, (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical, optionally substituted heteroaryl, heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the heteroaryl radical, CHO, (C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO, (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl-CO, (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl-CO, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl-CO, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl-CO, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO optionally substituted in the aryl radical, optionally substituted heteroaryl-CO, heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO optionally substituted in the heteroaryl radical, (C<sub>1</sub>-C<sub>8</sub>)-alkyl-S(O)<sub>n</sub>, (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl-S(O)<sub>n</sub>, (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-S(O)<sub>n</sub>, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl-S(O)<sub>n</sub>, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-S(O)<sub>n</sub>, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl-S(O)<sub>n</sub>, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-S(O)<sub>n</sub>, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl-S(O)<sub>n</sub>, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-S(O)<sub>n</sub> optionally substituted in the aryl radical, optionally substituted heteroaryl-S(O)<sub>n</sub> or heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-S(O)<sub>n</sub> optionally substituted in the heteroaryl radical, where n is 1 or 2;

$R^1$  is X-NH-C(=NH)-(CH<sub>2</sub>)<sub>p</sub> or X<sup>1</sup>-NH-(CH<sub>2</sub>)<sub>p</sub>, where p is 0, 1, 2 or 3;

X is hydrogen, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkylcarbonyl, (C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylcarbonyloxy, (C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-arylcarbonyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryloxycarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl which can also be substituted in the aryl radical, (R<sup>8</sup>O)<sub>2</sub>P(O), cyano, hydroxyl, (C<sub>1</sub>-C<sub>6</sub>)-alkoxy, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>6</sub>)-alkoxy which can also be substituted in the aryl radical, or amino;

X<sup>1</sup> is optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-arylcarbonyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryloxycarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl optionally substituted in the aryl radical, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>6</sub>)-alkoxy optionally substituted in the aryl radical, or R' -NH-C(=N-R''), where R' and R'' independently of one another have the meanings of X or is R' -NH-C(=N-R''), where R' and R'' independently of one another have the meanings of X;

$R^2$  is hydrogen, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical or (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl;

$R^3$  is hydrogen, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, (C<sub>2</sub>-C<sub>8</sub>)-alkenyl, (C<sub>2</sub>-C<sub>8</sub>)-alkynyl, (C<sub>2</sub>-C<sub>8</sub>)-alkenylcarbonyl, (C<sub>2</sub>-C<sub>8</sub>)-alkynylcarbonyl, pyridyl, R<sup>11</sup>NH, R<sup>4</sup>CO, COOR<sup>4</sup>, CON(CH<sub>3</sub>)R<sup>14</sup>, CONHR<sup>14</sup>, CSNHR<sup>14</sup>, COOR<sup>15</sup>, CON(CH<sub>3</sub>)R<sup>15</sup> or CONHR<sup>15</sup>;

*Cont'd*  
 $R^4$  is hydrogen or (C<sub>1</sub>-C<sub>28</sub>)-alkyl which can optionally be mono- or polysubstituted by identical or different radicals R<sup>4'</sup>; R<sup>4'</sup> is hydroxyl, hydroxycarbonyl, aminocarbonyl, mono- or di-((C<sub>1</sub>-C<sub>18</sub>))-alkyl)aminocarbonyl, amino-(C<sub>2</sub>-C<sub>18</sub>)-alkylaminocarbonyl, amino-(C<sub>1</sub>-C<sub>3</sub>)-alkylphenyl-(C<sub>1</sub>-C<sub>3</sub>)-alkylaminocarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylcarbonylamino-(C<sub>1</sub>-C<sub>3</sub>)-alkylphenyl-(C<sub>1</sub>-C<sub>3</sub>)-alkylaminocarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylcarbonylamino-(C<sub>2</sub>-C<sub>18</sub>)-alkylaminocarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkoxycarbonyl which can also be substituted in the aryl radical, amino, mercapto, (C<sub>1</sub>-C<sub>18</sub>)-alkoxy, (C<sub>1</sub>-C<sub>18</sub>)-alkoxycarbonyl, optionally substituted (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, halogen, nitro, trifluoromethyl or the radical R<sup>5</sup>;

$R^5$  is optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical, a mono- or bicyclic 5- to 12-membered heterocyclic ring which can be aromatic, partially hydrogenated or completely hydrogenated and which can contain one, two or three identical or different heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur, a radical R<sup>6</sup> or a radical R<sup>6</sup>CO-where the aryl radical and, independently thereof, the heterocyclic radical can be mono- or polysubstituted by identical or different radicals selected from the group consisting of (C<sub>1</sub>-C<sub>18</sub>)-alkyl, (C<sub>1</sub>-C<sub>18</sub>)-alkoxy, halogen, nitro, amino and trifluoromethyl;

$R^6$  is R<sup>7</sup>R<sup>8</sup>N, R<sup>7</sup>O or R<sup>7</sup>S or an amino acid side chain, a natural or unnatural amino acid, imino acid, optionally N-(C<sub>1</sub>-C<sub>8</sub>)-alkylated or N-((C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkylated) azaamino acid or a dipeptide radical which can also be substituted in the aryl radical and/or in which the peptide bond can be reduced to -NH-CH<sub>2</sub>-, and their esters and amides, where hydrogen or hydroxymethyl can optionally stand in place of optionally protected free functional groups;

$R^7$  is hydrogen, (C<sub>1</sub>-C<sub>18</sub>)-alkyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylcarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkoxycarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-arylcarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkylcarbonyl or (C<sub>6</sub>-C<sub>14</sub>)-

aryl-(C<sub>1</sub>-C<sub>18</sub>)-alkyloxycarbonyl, where the alkyl groups can optionally be substituted by an amino group and/or where the aryl radicals can be mono- or polysubstituted by identical or different radicals selected from the group consisting of (C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>1</sub>-C<sub>8</sub>)-alkoxy, halogen, nitro, amino and trifluoromethyl, or is a natural or unnatural amino acid, imino acid, optionally N-(C<sub>1</sub>-C<sub>8</sub>)-alkylated or N-((C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkylated) azaamino acid or a dipeptide radical which can also be substituted in the aryl radical and/or in which the peptide bond can be reduced to -NH-CH<sub>2</sub>-;

*F1*  
*Cont'd*  
R<sup>8</sup> is hydrogen, (C<sub>1</sub>-C<sub>18</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl which can also be substituted in the aryl radical;

R<sup>9</sup> is hydrogen, aminocarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylaminocarbonyl, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkylaminocarbonyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-arylaminocarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl or (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl;

R<sup>10</sup> is hydroxyl, (C<sub>1</sub>-C<sub>18</sub>)-alkoxy, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkoxy which can also be substituted in the aryl radical, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryloxy, amino or mono- or di-((C<sub>1</sub>-C<sub>18</sub>)-alkyl)amino;

R<sup>11</sup> is hydrogen (C<sub>1</sub>-C<sub>18</sub>)-alkyl, R<sup>12</sup>CO, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl-S(O)<sub>2</sub>, (C<sub>1</sub>-C<sub>18</sub>)-alkyl-S(O)<sub>2</sub>, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical or R<sup>9</sup>NHS(O)<sub>2</sub>;

R<sup>12</sup> is hydrogen (C<sub>1</sub>-C<sub>18</sub>)-alkyl, (C<sub>2</sub>-C<sub>8</sub>)-alkenyl, (C<sub>2</sub>-C<sub>8</sub>)-alkynyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>1</sub>-C<sub>18</sub>)-alkoxy, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkoxy which can also be substituted in the aryl radical, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryloxy, amino or mono- or di-((C<sub>1</sub>-C<sub>18</sub>)-alkyl)amino;

R<sup>13</sup> is hydrogen, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical or (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl;

R<sup>14</sup> is hydrogen or (C<sub>1</sub>-C<sub>28</sub>)-alkyl which can optionally be mono- or polysubstituted by identical or different radicals selected from the group consisting of hydroxyl, hydroxycarbonyl, aminocarbonyl, mono- or di-((C<sub>1</sub>-C<sub>18</sub>)-alkyl)-aminocarbonyl, amino-(C<sub>2</sub>-C<sub>18</sub>)-alkylaminocarbonyl, amino-(C<sub>1</sub>-C<sub>3</sub>)-alkylphenyl-(C<sub>1</sub>-C<sub>3</sub>)-alkylaminocarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-

alkylcarbonylamino-(C<sub>1</sub>-C<sub>3</sub>)-alkylphenyl-(C<sub>1</sub>-C<sub>3</sub>)-alkylaminocarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylcarbonyl-amino-(C<sub>2</sub>-C<sub>18</sub>)-alkylaminocarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>18</sub>)-alkoxycarbonyl which can also be substituted in the aryl radical, amino, mercapto, (C<sub>1</sub>-C<sub>18</sub>)-alkoxy, (C<sub>1</sub>-C<sub>18</sub>)-alkoxycarbonyl, optionally substituted (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, HOS(O)<sub>2</sub>-(C<sub>1</sub>-C<sub>3</sub>)-alkyl, R<sup>9</sup>NHS(O)<sub>2</sub>-(C<sub>1</sub>-C<sub>3</sub>)-alkyl, (R<sup>8</sup>O)<sub>2</sub>P(O)-(C<sub>1</sub>-C<sub>3</sub>)-alkyl, tetrazolyl-(C<sub>1</sub>-C<sub>3</sub>)-alkyl, halogen, nitro, trifluoromethyl and R<sup>5</sup>;

R<sup>15</sup> is R<sup>16</sup>-(C<sub>1</sub>-C<sub>6</sub>)-alkyl or R<sup>16</sup>;

R<sup>16</sup> is a 6- to 24-membered bicyclic or tricyclic radical which is saturated or partially unsaturated and which can also contain one to four identical or different heteroatoms selected from the group consisting of nitrogen oxygen and sulfur and which can also be substituted by one or more identical or different substituents selected from the group consisting of (C<sub>1</sub>-C<sub>4</sub>)-alkyl and oxo;

b, c, and d are 1;

e is 0, 1, 2, 3, 4, 5 or 6;

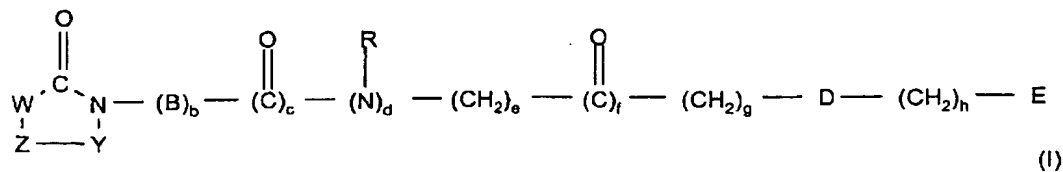
f is 0;

g is 0, 1, 2, 3, 4, 5 or 6;

h is 0, 1, 2, 3, 4, 5 or 6;

in all their stereoisomeric forms and mixtures thereof in any ratio, and of their physiologically tolerable salts.

24. (Three Times Amended) A method for inhibiting adhesion and/or migration of leucocytes in diseases in which leucocyte adhesion and/or migration exhibits an undesired extent comprising administering to a subject in need thereof an effective amount of a preparation comprising an effective amount of at least one compound of the formula I:



in which

W is  $R^1-A-C(R^{13})$ ;

Y is a carbonyl;

Z is  $N(R^0)$ ;

A is a bivalent radical selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)-alkylene, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkylene, phenylene, phenylene-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkylenephenyl, phenylene-(C<sub>2</sub>-C<sub>6</sub>)-alkenyl or a bivalent radical of a 5- or 6-membered saturated or unsaturated ring which can contain 1 or 2 nitrogen atoms and can be mono- or disubstituted by (C<sub>1</sub>-C<sub>6</sub>)-alkyl or doubly bonded oxygen or sulfur;

B is a bivalent radical selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)-alkylene, (C<sub>2</sub>-C<sub>6</sub>)-alkenylene, phenylene, phenylene-(C<sub>1</sub>-C<sub>3</sub>)-alkyl, (C<sub>1</sub>-C<sub>3</sub>)-alkylenephenyl, where the bivalent (C<sub>1</sub>-C<sub>6</sub>)-alkylene radical can be unsubstituted or substituted by a radical selected from the group consisting of (C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>2</sub>-C<sub>8</sub>)-alkenyl, (C<sub>2</sub>-C<sub>8</sub>)-alkynyl, (C<sub>3</sub>-C<sub>10</sub>)-cycloalkyl, (C<sub>3</sub>-C<sub>10</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>6</sub>)-alkyl optionally substituted in the aryl radical, optionally substituted heteroaryl and heteroaryl-(C<sub>1</sub>-C<sub>6</sub>)-alkyl optionally substituted in the heteroaryl radical;

D is  $C(R^2)(R^3)$ ,  $N(R^3)$  or  $CH=C(R^3)$ ;

E is  $R^{10}CO$ ;

R is hydrogen, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical;

$R^0$  is (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl, (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl-(C<sub>1</sub>-C<sub>8</sub>) alkyl, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl,

optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical, optionally substituted heteroaryl, heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the heteroaryl radical, CHO, (C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO, (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl-CO, (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl-CO, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl-CO, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl-CO, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO optionally substituted in the aryl radical, optionally substituted heteroaryl-CO, heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO optionally substituted in the heteroaryl radical, (C<sub>1</sub>-C<sub>8</sub>)-alkyl-S(O)<sub>n</sub>, (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl-S(O)<sub>n</sub>, (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-S(O)<sub>n</sub>, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl-S(O)<sub>n</sub>, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-S(O)<sub>n</sub>, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl-S(O)<sub>n</sub>, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-S(O)<sub>n</sub>, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl-S(O)<sub>n</sub>, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-S(O)<sub>n</sub> optionally substituted in the aryl radical, optionally substituted heteroaryl-S(O)<sub>n</sub> or heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-S(O)<sub>n</sub> optionally substituted in the heteroaryl radical, where n is 1 or 2;

R<sup>1</sup> is X-NH-C(=NH)-(CH<sub>2</sub>)<sub>p</sub> or X<sup>1</sup>-NH-(CH<sub>2</sub>)<sub>p</sub>, where p is 0, 1, 2 or 3;

X is hydrogen, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkylcarbonyl, (C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylcarbonyloxy-(C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-arylcarbonyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryloxycarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl which can also be substituted in the aryl radical, (R<sup>8</sup>O)<sub>2</sub>P(O), cyano, hydroxyl, (C<sub>1</sub>-C<sub>6</sub>)-alkoxy, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>6</sub>)-alkoxy which can also be substituted in the aryl radical, or amino;

X<sup>1</sup> has one of the meanings of X or is R'-NH-C(=N-R''), where R' and R'' independently of one another have the meanings of X;

R<sup>2</sup> is hydrogen, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical or (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl;

R<sup>3</sup> is hydrogen, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, (C<sub>2</sub>-C<sub>8</sub>)-alkenyl, (C<sub>2</sub>-C<sub>8</sub>)-alkynyl, (C<sub>2</sub>-C<sub>8</sub>)-alkenylcarbonyl, (C<sub>2</sub>-C<sub>8</sub>)-alkynylcarbonyl, pyridyl, R<sup>11</sup>NH, R<sup>4</sup>CO, COOR<sup>4</sup>, CON(CH<sub>3</sub>)R<sup>14</sup>, CONHR<sup>14</sup>, CSNHR<sup>14</sup>, COOR<sup>15</sup>, CON(CH<sub>3</sub>)R<sup>15</sup> or CONHR<sup>15</sup>;

*Conty*  
 $R^4$  is hydrogen or  $(C_1-C_{28})$ -alkyl which can optionally be mono- or polysubstituted by identical or different radicals  $R^{4'}$ ;  $R^{4'}$  is hydroxyl, hydroxycarbonyl, aminocarbonyl, mono- or di- $((C_1-C_{18})$ -alkyl)aminocarbonyl, amino- $(C_2-C_{18})$ -alkylaminocarbonyl, amino- $(C_1-C_3)$ -alkylphenyl- $(C_1-C_3)$ -alkylaminocarbonyl,  $(C_1-C_{18})$ -alkylcarbonylamino- $(C_1-C_3)$ -alkylphenyl- $(C_1-C_3)$ -alkylaminocarbonyl,  $(C_1-C_{18})$ -alkylcarbonylamino- $(C_2-C_{18})$ -alkylaminocarbonyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkoxycarbonyl which can also be substituted in the aryl radical, amino, mercapto,  $(C_1-C_{18})$ -alkoxy,  $(C_1-C_{18})$ -alkoxycarbonyl, optionally substituted  $(C_3-C_8)$ -cycloalkyl, halogen, nitro, trifluoromethyl or the radical  $R^5$ ;

$R^5$  is optionally substituted  $(C_6-C_{14})$ -aryl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical, a mono- or bicyclic 5- to 12-membered heterocyclic ring which can be aromatic, partially hydrogenated or completely hydrogenated and which can contain one, two or three identical or different heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur, a radical  $R^6$  or a radical  $R^6CO$ -, where the aryl radical and, independently thereof, the heterocyclic radical can be mono- or polysubstituted by identical or different radicals selected from the group consisting of  $(C_1-C_{18})$ -alkyl,  $(C_1-C_{18})$ -alkoxy, halogen, nitro, amino and trifluoromethyl;

$R^6$  is  $R^7R^8N$ ,  $R^7O$  or  $R^7S$  or an amino acid side chain, a natural or unnatural amino acid, imino acid, optionally N- $(C_1-C_8)$ -alkylated or N- $((C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkylated) azaamino acid or a dipeptide radical which can also be substituted in the aryl radical and/or in which the peptide bond can be reduced to  $-NH-CH_2-$ , and their esters and amides, where hydrogen or hydroxymethyl can optionally stand in place of optionally protected free functional groups;

$R^7$  is hydrogen,  $(C_1-C_{18})$ -alkyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl,  $(C_1-C_{18})$ -alkylcarbonyl,  $(C_1-C_{18})$ -alkoxycarbonyl,  $(C_6-C_{14})$ -arylcarbonyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkylcarbonyl or  $(C_6-C_{14})$ -aryl- $(C_1-C_{18})$ -alkyloxycarbonyl, where the alkyl groups can optionally be substituted by an amino group and/or where the aryl radicals can be mono- or polysubstituted by identical or different radicals selected from the group consisting of  $(C_1-C_8)$ -alkyl,  $(C_1-C_8)$ -alkoxy, halogen, nitro, amino and trifluoromethyl, or is a natural or unnatural amino acid, imino acid, optionally N- $(C_1-C_8)$ -alkylated or N- $((C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkylated) azaamino acid or a

dipeptide radical which can also be substituted in the aryl radical and/or in which the peptide bond can be reduced to -NH-CH<sub>2</sub>-;

R<sup>8</sup> is hydrogen, (C<sub>1</sub>-C<sub>18</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl which can also be substituted in the aryl radical;

R<sup>9</sup> is hydrogen, aminocarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylaminocarbonyl, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkylaminocarbonyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-arylaminocarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl or (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl;

R<sup>10</sup> is hydroxyl, (C<sub>1</sub>-C<sub>18</sub>)-alkoxy, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkoxy which can also be substituted in the aryl radical, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryloxy, amino or mono- or di-((C<sub>1</sub>-C<sub>18</sub>)-alkyl)amino;

R<sup>11</sup> is hydrogen, (C<sub>1</sub>-C<sub>18</sub>)-alkyl, R<sup>12</sup>CO, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl-S(O)<sub>2</sub>, (C<sub>1</sub>-C<sub>18</sub>)-alkyl-S(O)<sub>2</sub>, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical or R<sup>9</sup>NHS(O)<sub>2</sub>;

R<sup>12</sup> is hydrogen, (C<sub>1</sub>-C<sub>18</sub>)-alkyl, (C<sub>2</sub>-C<sub>8</sub>)-alkenyl, (C<sub>2</sub>-C<sub>8</sub>)-alkynyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>1</sub>-C<sub>18</sub>)-alkoxy, (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>1</sub>-C<sub>8</sub>)-alkoxy which can also be substituted in the aryl radical, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryloxy, amino or mono- or di-((C<sub>1</sub>-C<sub>18</sub>)-alkyl)amino;

R<sup>13</sup> is hydrogen, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical or (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl;

R<sup>14</sup> is hydrogen or (C<sub>1</sub>-C<sub>28</sub>)-alkyl which can optionally be mono- or polysubstituted by identical or different radicals selected from the group consisting of hydroxyl, hydroxycarbonyl, aminocarbonyl, mono- or di-((C<sub>1</sub>-C<sub>18</sub>)-alkyl-aminocarbonyl, amino-((C<sub>2</sub>-C<sub>18</sub>)-alkylaminocarbonyl, amino-(C<sub>1</sub>-C<sub>3</sub>)-alkylphenyl-(C<sub>1</sub>-C<sub>3</sub>)-alkylaminocarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylcarbonylamino-(C<sub>1</sub>-C<sub>3</sub>)-alkylphenyl-(C<sub>1</sub>-C<sub>3</sub>)-alkylaminocarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylcarbonyl-amino-(C<sub>2</sub>-C<sub>18</sub>)-alkylaminocarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkoxycarbonyl which can also be substituted in the aryl radical, amino, mercapto, (C<sub>1</sub>-C<sub>18</sub>)-alkoxy, (C<sub>1</sub>-C<sub>18</sub>)-alkoxycarbonyl,

optionally substituted (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, HOS(O)<sub>2</sub>-(C<sub>1</sub>-C<sub>3</sub>)-alkyl, R<sup>9</sup>NHS(O)<sub>2</sub>-(C<sub>1</sub>-C<sub>3</sub>)-alkyl, (R<sup>8</sup>O)<sub>2</sub>P(O)-(C<sub>1</sub>-C<sub>3</sub>)-alkyl, tetrazolyl-(C<sub>1</sub>-C<sub>3</sub>)-alkyl, halogen, nitro, trifluoromethyl and R<sup>5</sup>;

R<sup>15</sup> is R<sup>16</sup>-(C<sub>1</sub>-C<sub>6</sub>)-alkyl or R<sup>16</sup>;

*F1 Cont'd*  
R<sup>16</sup> is a 6- to 24-membered bicyclic or tricyclic radical which is saturated or partially unsaturated and which can also contain one to four identical or different heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur and which can also be substituted by one or more identical or different substituents selected from the group consisting of (C<sub>1</sub>-C<sub>4</sub>)-alkyl and oxo;

b, c, and d are 1;

e is 0, 1, 2, 3, 4, 5 or 6;

f is 0;

g is 0, 1, 2, 3, 4, 5 or 6;

h is 0, 1, 2, 3, 4, 5 or 6;

in all their stereoisomeric forms and mixtures thereof in any ratio, and of their physiologically tolerable salts.

39. (Twice Amended) The method as claimed in claim 21, wherein

*F2*  
A is a bivalent radical selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)-alkylene, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkylene, phenylene, phenylene-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkylenepheryl, phenylene-(C<sub>2</sub>-C<sub>6</sub>)-alkenyl or a bivalent radical of a 5- or 6-membered saturated or unsaturated ring which can contain 1 or 2 nitrogen atoms and can be mono- or disubstituted by (C<sub>1</sub>-C<sub>6</sub>)-alkyl or doubly bonded oxygen or sulfur;

B is a bivalent radical selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)-alkylene, (C<sub>2</sub>-C<sub>6</sub>)-alkenylene, phenylene, phenylene-(C<sub>1</sub>-C<sub>3</sub>)-alkyl, (C<sub>1</sub>-C<sub>3</sub>)-alkylene-phenyl;

D is C(R<sup>2</sup>)(R<sup>3</sup>), N(R<sup>3</sup>) or CH=C(R<sup>3</sup>);

R is hydrogen, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical;

R<sup>0</sup> is (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical;

R<sup>1</sup> is X-NH-C(=NH)-(CH<sub>2</sub>)<sub>p</sub> or X<sup>1</sup>-NH-(CH<sub>2</sub>)<sub>p</sub>, where p is 0, 1, 2 or 3;

X is hydrogen, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkylcarbonyl, (C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylcarbonyloxy-(C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-arylcarbonyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryloxycarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl which can also be substituted in the aryl radical, (R<sup>8</sup>O)<sub>2</sub>P(O), cyano, hydroxyl, (C<sub>1</sub>-C<sub>6</sub>)-alkoxy, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>6</sub>)-alkoxy which can also be substituted in the aryl radical, or amino;

X<sup>1</sup> is optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-arylcarbonyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryloxycarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl optionally substituted in the aryl radical, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>6</sub>)-alkoxy optionally substituted in the aryl radical, or is R'-NH-C(=N-R'') where R' and R'' independently of one another have the meanings of X;


R<sup>2</sup> is hydrogen, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical or (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl;

R<sup>3</sup> is hydrogen, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, optionally substituted in the aryl radical, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, (C<sub>2</sub>-C<sub>8</sub>)-alkenyl, (C<sub>2</sub>-C<sub>8</sub>)-alkynyl, (C<sub>2</sub>-C<sub>8</sub>)-alkenylcarbonyl, (C<sub>2</sub>-C<sub>8</sub>)-alkynylcarbonyl, pyridyl, R<sup>11</sup>NH, R<sup>4</sup>CO, COOR<sup>4</sup>, CON(CH<sub>3</sub>)R<sup>14</sup>, CONHR<sup>14</sup>, CSNHR<sup>14</sup>, COOR<sup>15</sup>, CON(CH<sub>3</sub>)R<sup>15</sup> or CONHR<sup>15</sup>;

R<sup>4</sup> is hydrogen or (C<sub>1</sub>-C<sub>28</sub>)-alkyl which can optionally be mono- or polysubstituted by identical or different radicals R<sup>4'</sup>;

R<sup>4'</sup> is hydroxyl, hydroxycarbonyl, aminocarbonyl, mono- or di-((C<sub>1</sub>-C<sub>18</sub>)-alkyl)aminocarbonyl, amino-(C<sub>2</sub>-C<sub>18</sub>)-alkylaminocarbonyl, amino-(C<sub>1</sub>-C<sub>3</sub>)-alkylphenyl-(C<sub>1</sub>-

C<sub>3</sub>)-alkylaminocarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylcarbonylamino-(C<sub>1</sub>-C<sub>3</sub>)-alkylphenyl-(C<sub>1</sub>-C<sub>3</sub>)-alkylaminocarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylcarbonylamino-(C<sub>2</sub>-C<sub>18</sub>)-alkylaminocarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkoxycarbonyl which can also be substituted in the aryl radical, amino, mercapto, (C<sub>1</sub>-C<sub>18</sub>)-alkoxy, (C<sub>1</sub>-C<sub>18</sub>)-alkoxycarbonyl, optionally substituted (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, halogen, nitro, trifluoromethyl or the radical R<sup>5</sup>;

 R<sup>5</sup> is optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical, a mono- or bicyclic 5- to 12-membered heterocyclic ring which can be aromatic, partially hydrogenated or completely hydrogenated and which can contain one, two or three identical or different heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur, a radical R<sup>6</sup> or a radical R<sup>6</sup>CO-, where the aryl radical and, independently thereof, the heterocyclic radical can be mono- or polysubstituted by identical or different radicals selected from the group consisting of (C<sub>1</sub>-C<sub>18</sub>)-alkyl, (C<sub>1</sub>-C<sub>18</sub>)-alkoxy, halogen, nitro, amino or trifluoromethyl;

R<sup>6</sup> is R<sup>7</sup>R<sup>8</sup>N, R<sup>7</sup>O or R<sup>7</sup>S or an amino acid side chain, a natural or unnatural amino acid, imino acid, optionally N-(C<sub>1</sub>-C<sub>8</sub>)-alkylated or N-((C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkylated) azaamino acid or a dipeptide radical which can also be substituted in the aryl radical and/or in which the peptide bond can be reduced to -NH-CH<sub>2</sub>-, and their esters and amides, where hydrogen or hydroxymethyl can optionally stand in place of optionally protected free functional groups;

R<sup>7</sup> is hydrogen, (C<sub>1</sub>-C<sub>18</sub>)-alkyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylcarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkoxycarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-arylcarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkylcarbonyl or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>18</sub>)-alkyloxycarbonyl, where the alkyl groups can optionally be substituted by an amino group and/or where the aryl radicals can be mono- or polysubstituted by identical or different radicals selected from the group consisting of (C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>1</sub>-C<sub>8</sub>)-alkoxy, halogen, nitro, amino and trifluoromethyl, or is a natural or unnatural amino acid, imino acid, optionally N-(C<sub>1</sub>-C<sub>8</sub>)-alkylated or N-((C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkylated) azaamino acid or a dipeptide radical which can also be substituted in the aryl radical and/or in which the peptide bond can be reduced to -NH-CH<sub>2</sub>-;

$R^8$  is hydrogen,  $(C_1-C_{18})$ -alkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl which can also be substituted in the aryl radical;

$R^9$  is hydrogen, aminocarbonyl,  $(C_1-C_{18})$ -alkylaminocarbonyl,  $(C_3-C_8)$ -cycloalkylaminocarbonyl, optionally substituted  $(C_6-C_{14})$ -arylaminocarbonyl,  $(C_1-C_{18})$ -alkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_3-C_8)$ -cycloalkyl;

$R^{10}$  is hydroxyl,  $(C_1-C_{18})$ -alkoxy,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkoxy which can also be substituted in the aryl radical, optionally substituted  $(C_6-C_{14})$ -aryloxy, amino or mono- or di- $((C_1-C_{18})$ -alkyl)amino;

$R^{11}$  is hydrogen,  $(C_1-C_{18})$ -alkyl,  $R^{12}CO$ , optionally substituted  $(C_6-C_{14})$ -aryl- $S(O)_2$ ,  $(C_1-C_{18})$ -alkyl- $S(O)_2$ ,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical or  $R^9NHS(O)_2$ ;

$R^{12}$  is hydrogen,  $(C_1-C_{18})$ -alkyl,  $(C_2-C_8)$ -alkenyl,  $(C_2-C_8)$ -alkynyl, optionally substituted  $(C_6-C_{14})$ -aryl,  $(C_1-C_{18})$ -alkoxy,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkoxy which can also be substituted in the aryl radical, optionally substituted  $(C_6-C_{14})$ -aryloxy, amino or mono- or di- $((C_1-C_{18})$ -alkyl)amino;

$R^{13}$  is hydrogen,  $(C_1-C_6)$ -alkyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical or  $(C_3-C_8)$ -cycloalkyl;

$R^{14}$  is hydrogen or  $(C_1-C_{28})$ -alkyl which can optionally be mono- or polysubstituted by identical or different radicals selected from the group consisting of hydroxyl, hydroxycarbonyl, aminocarbonyl, mono- or di- $((C_1-C_{18})$ -alkyl)aminocarbonyl, amino- $(C_2-C_{18})$ -alkylaminocarbonyl, amino- $(C_1-C_3)$ -alkylphenyl- $(C_1-C_8)$ -alkylaminocarbonyl,  $(C_1-C_{18})$ -alkylcarbonylamino- $(C_1-C_3)$  alkylphenyl- $(C_1-C_3)$ alkylaminocarbonyl,  $(C_1-C_{18})$ -alkylcarbonylamino- $(C_2-C_{18})$ -alkylaminocarbonyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkoxycarbonyl which can also be substituted in the aryl radical, amino, mercapto,  $(C_1-C_{18})$ -alkoxy,  $(C_1-C_{18})$ -alkoxycarbonyl, optionally substituted  $(C_3-C_8)$ -cycloalkyl,  $HOS(O)_2$ - $(C_1-C_3)$ -alkyl,

*FB*  
R<sup>9</sup>NHS(O)<sub>2</sub>-(C<sub>1</sub>-C<sub>3</sub>)-alkyl, (R<sup>8</sup>O)<sub>2</sub>P(O)-(C<sub>1</sub>-C<sub>3</sub>)-alkyl, tetrazolyl-(C<sub>1</sub>-C<sub>3</sub>)-alkyl, halogen, nitro, trifluoromethyl and R<sup>5</sup>;

R<sup>15</sup> is R<sup>16</sup>-(C<sub>1</sub>-C<sub>6</sub>)-alkyl or R<sup>16</sup>;

R<sup>16</sup> is a 6- to 24-membered bicyclic or tricyclic radical which is saturated or partially unsaturated and which can also contain one to four identical or different heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur and which can also be substituted by one or more identical or different substituents selected from the group consisting of (C<sub>1</sub>-C<sub>4</sub>)-alkyl and oxo;

b, c, and d independently of one another are 0 or 1, but cannot all simultaneously be 0; e, g and h independently of one another are 0, 1, 2, 3, 4, 5 or 6; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

*FB*  
42. (Twice Amended) The method as claimed in claim 21, wherein

B is a bivalent radical selected from the group consisting of methylene, ethylene, trimethylene, tetramethylene, vinylene, phenylene, or is substituted methylene or ethylene;

R is hydrogen, (C<sub>1</sub>-C<sub>6</sub>)-alkyl or benzyl;

R<sup>0</sup> is (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical;

R<sup>1</sup> is X-NH-C(=NH), X-NH-C(=NX)-NH or X<sup>1</sup>-NH-CH<sub>2</sub>;

X<sup>1</sup> is optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-arylcarbonyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryloxycarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl optionally substituted in the aryl radical, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>6</sub>)-alkoxy optionally substituted in the aryl radical, or R'-NH-C(=N-R''), where R' and R'' independently of one another have the meanings of X;

*F3*  
*Contd*  
X is hydrogen, (C<sub>1</sub>-C<sub>6</sub>)-alkylcarbonyl, (C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl, (C<sub>1</sub>-C<sub>8</sub>)-alkylcarbonyloxy-(C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl or hydroxyl;  
R<sup>2</sup> is hydrogen or (C<sub>1</sub>-C<sub>8</sub>)-alkyl;

R<sup>3</sup> is (C<sub>1</sub>-C<sub>8</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, (C<sub>2</sub>-C<sub>8</sub>)-alkenyl, (C<sub>2</sub>-C<sub>8</sub>)-alkynyl, pyridyl, R<sup>11</sup>NH, R<sup>4</sup>CO, COOR<sup>4</sup>, CONHR<sup>14</sup>, CSNHR<sup>14</sup>, COOR<sup>15</sup> and CONHR<sup>15</sup>;

and e, g and h independently of one another are the numbers 0, 1, 2 or 3; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

*PH*  
45. (Amended) The method as claimed in claim 44, wherein the radical of the oc-  
ainino acid is selected from the group consisting of valine, lysine, phenylglycine,  
phenylalanine, tryptophan, and their (C<sub>1</sub>-C<sub>8</sub>)-alkyl esters or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>4</sub>)-alkyl esters;  
wherein said compound or compounds may be present in all their stereoisomeric forms and  
mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

46. (Twice Amended) The method as claimed in claim 21, wherein

Z is N(R<sup>0</sup>);

A is ethylene, trimethylene, tetramethylene, pentamethylene, cyclohexylene, phenylene  
or phenylenemethyl;

B is an unsubstituted or substituted methylene radical;

D is C(R<sup>2</sup>)(R<sup>3</sup>);

R is hydrogen or (C<sub>1</sub>-C<sub>4</sub>)-alkyl;

R<sup>0</sup> is (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-  
alkyl optionally substituted in the aryl radical;

R<sup>1</sup> is H<sub>2</sub>N-C(=NH), H<sub>2</sub>N-C(=NH)-NH or H<sub>2</sub>N-CH<sub>2</sub>;

R<sup>2</sup> is hydrogen;

R<sup>3</sup> is the radical CONHR<sup>14</sup>;

R<sup>10</sup> is hydroxyl or (C<sub>1</sub>-C<sub>8</sub>)-alkoxy;

R<sup>13</sup> is (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl or benzyl;

R<sup>14</sup> is methyl which is substituted by hydroxycarbonyl and a radical selected from the group consisting of (C<sub>1</sub>-C<sub>4</sub>)-alkyl, phenyl and benzyl, or is methyl which is substituted by (C<sub>1</sub>-C<sub>8</sub>)-alkoxycarbonyl and a radical selected from the group consisting of (C<sub>1</sub>-C<sub>4</sub>)-alkyl, phenyl and benzyl;

b, c and d are 1 and e and g are 0;

h is 1 or 2; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

47. (Amended) The method as claimed in claim 21, wherein simultaneously W is R<sup>1</sup>-A-C(R<sup>13</sup>) and therein A is a bivalent radical selected from the group consisting of methylene, ethylene, trimethylene, tetramethylene, pentamethylene, cyclohexylene, phenylene, phenylenemethyl;

B is a bivalent radical selected from the group consisting of methylene, ethylene, trimethylene, tetramethylene, vinylene, phenylene or is substituted methylene or ethylene;

R is hydrogen or (C<sub>1</sub>-C<sub>6</sub>)-alkyl;

R<sup>0</sup> is (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical;

*F4*  
*Cont'd*  
 $R^1$  is  $X-NH-C(=NH)$ ,  $X-NH-C(=NX)-NH$  or  $X-NH-CH_2$ ;

$X$  is hydrogen,  $(C_1-C_6)$ -alkylcarbonyl,  $(C_1-C_6)$ -alkoxycarbonyl,  $(C_1-C_8)$ -alkylcarbonyloxy- $(C_1-C_6)$ -alkoxycarbonyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_6)$ -alkoxycarbonyl of hydroxyl;

$R^2$  is hydrogen or  $(C_1-C_8)$ -alkyl;

$R^3$  is  $CONHR^{15}$  or  $CONHR^{14}$  where  $R^{14}$  herein is a  $(C_1-C_8)$ -alkyl radical which is unsubstituted or substituted by one or more  $(C_6-C_{14})$ -aryl radicals;

$R^{15}$  is  $R^{16}-(C_1-C_6)$ -alkyl or  $R^{16}$ , where  $R^{16}$  is a 7- to 12-membered bridged bicyclic or tricyclic radical which is saturated or partially unsaturated and which can also contain one to four identical or different heteroatoms from the group consisting of nitrogen, oxygen and sulfur and which can also be substituted by one or more identical or different substituents selected from the group consisting of  $(C_1-C_4)$ -alkyl and oxo;

and e, g and h independently of one another are the numbers 0, 1, 2 or 3 and b, c and d are 1; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

*15*  
50. (Twice Amended) The method as claimed in claim 21, wherein

A is ethylene, trimethylene, tetramethylene, pentamethylene, cyclohexylene, phenylene, phenylenemethyl;

B is an unsubstituted or substituted methylene radical or ethylene radical;

D is  $C(R^2)(R^3)$ ;

R is hydrogen or  $(C_1-C_4)$ -alkyl;

*ES*  
*Cont'd*  
 $R^0$  is (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl which is optionally substituted in the aryl radical;

$R^1$  is H<sub>2</sub>N-C(=NH), H<sub>2</sub>N-C(=NH)-NH or H<sub>2</sub>N-CH<sub>2</sub>;

$R^2$  is hydrogen;

$R^3$  is an unsubstituted phenyl radical or naphthyl radical, a phenyl radical or naphthyl radical substituted by one, two or three identical or different radicals selected from the group consisting of (C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy, hydroxyl, halogen, trifluoromethyl, nitro, methylenedioxy, ethylenedioxy, hydroxycarbonyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonyl, aminocarbonyl, cyano, phenyl, phenoxy, benzyl and benzyloxy, a pyridyl radical, a (C<sub>1</sub>-C<sub>4</sub>)-alkyl radical, a (C<sub>2</sub>-C<sub>4</sub>)-alkenyl radical, a (C<sub>2</sub>-C<sub>4</sub>)-alkynyl radical or a (C<sub>5</sub>-C<sub>6</sub>)-cycloalkyl radical;

$R^{10}$  is hydroxyl or (C<sub>1</sub>-C<sub>8</sub>)-alkoxy;

$R^{13}$  is (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl or benzyl;

b, c and d are 1 and e and g are 0;

h is 1 or 2;

wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

*16*  
54. (Amended) The method as claimed in claim 21, in which B is an unsubstituted methylene radical or a methylene radical which is substituted by a (C<sub>1</sub>-C<sub>6</sub>)-alkyl radical; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

55. (Twice Amended) The method as claimed in claim 22, wherein

A is a bivalent radical selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)-alkylene, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkylene, phenylene, phenylene-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkylenepheryl, phenylene-(C<sub>2</sub>-

C<sub>6</sub>-alkenyl or a bivalent radical of a 5- or 6-membered saturated or unsaturated ring which can contain 1 or 2 nitrogen atoms and can be mono- or disubstituted by (C<sub>1</sub>-C<sub>6</sub>)-alkyl or doubly bonded oxygen or sulfur;

B is a bivalent radical selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)-alkylene, (C<sub>2</sub>-C<sub>6</sub>)-alkenylene, phenylene, phenylene-(C<sub>1</sub>-C<sub>3</sub>)-alkyl, (C<sub>1</sub>-C<sub>3</sub>)-alkylene-phenyl;

D is C(R<sup>2</sup>)(R<sup>3</sup>), N(R<sup>3</sup>) or CH=C(R<sup>3</sup>);

R is hydrogen, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical;

R<sup>0</sup> is (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical;

R<sup>1</sup> is X-NH-C(=NH)-(CH<sub>2</sub>)<sub>p</sub> or X<sup>1</sup>-NH-(CH<sub>2</sub>)<sub>p</sub>, where p is 0, 1, 2 or 3;

X is hydrogen, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkylcarbonyl, (C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylcarbonyloxy-(C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-arylcarbonyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryloxycarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl which can also be substituted in the aryl radical, (R<sup>8</sup>O)<sub>2</sub>P(O), cyano, hydroxyl, (C<sub>1</sub>-C<sub>6</sub>)-alkoxy, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>6</sub>)-alkoxy which can also be substituted in the aryl radical, or amino;

X<sup>1</sup> has one of the meanings of X or is R'-NH-C(=N-R'') where R' and R'' independently of one another have the meanings of X;

R<sup>2</sup> is hydrogen, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical or (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl;

R<sup>3</sup> is hydrogen, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, optionally substituted in the aryl radical, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, (C<sub>2</sub>-C<sub>8</sub>)-alkenyl, (C<sub>2</sub>-C<sub>8</sub>)-alkynyl, (C<sub>2</sub>-C<sub>8</sub>)-alkenylcarbonyl, (C<sub>2</sub>-C<sub>8</sub>)-alkynylcarbonyl, pyridyl,

$R^{11}NH$ ,  $R^4CO$ ,  $COOR^4$ ,  $CON(CH_3)R^{14}$ ,  $CONHR^{14}$ ,  $CSNHR^{14}$ ,  $COOR^{15}$ ,  $CON(CH_3)R^{15}$  or  $CONHR^{15}$ ;

$R^4$  is hydrogen or  $(C_1-C_{28})$ -alkyl which can optionally be mono- or polysubstituted by identical or different radicals  $R^{4'}$ ;

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*Amul*  
 $R^{4'}$  is hydroxyl, hydroxycarbonyl, aminocarbonyl, mono- or di- $((C_1-C_{18})$ -alkyl)aminocarbonyl, amino- $(C_2-C_{18})$ -alkylaminocarbonyl, amino- $(C_1-C_3)$ -alkylphenyl- $(C_1-C_3)$ -alkylaminocarbonyl,  $(C_1-C_{18})$ -alkylcarbonylamino- $(C_1-C_3)$ -alkylphenyl- $(C_1-C_3)$ -alkylaminocarbonyl,  $(C_1-C_{18})$ -alkylcarbonylamino- $(C_2-C_{18})$ -alkylaminocarbonyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkoxycarbonyl which can also be substituted in the aryl radical, amino, mercapto,  $(C_1-C_{18})$ -alkoxy,  $(C_1-C_{18})$ -alkoxycarbonyl, optionally substituted  $(C_3-C_8)$ -cycloalkyl, halogen, nitro, trifluoromethyl or the radical  $R^5$ ;

$R^5$  is optionally substituted  $(C_6-C_{14})$ -aryl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical, a mono- or bicyclic 5- to 12-membered heterocyclic ring which can be aromatic, partially hydrogenated or completely hydrogenated and which can contain one, two or three identical or different heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur, a radical  $R^6$  or a radical  $R^6CO$ -, where the aryl radical and, independently thereof, the heterocyclic radical can be mono- or polysubstituted by identical or different radicals selected from the group consisting of  $(C_1-C_{18})$ -alkyl,  $(C_1-C_{18})$ -alkoxy, halogen, nitro, amino or trifluoromethyl;

$R^6$  is  $R^7R^8N$ ,  $R^7O$  or  $R^7S$  or an amino acid side chain, a natural or unnatural amino acid, imino acid, optionally  $N-(C_1-C_8)$ -alkylated or  $N-((C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkylated) azaamino acid or a dipeptide radical which can also be substituted in the aryl radical and/or in which the peptide bond can be reduced to  $-NH-CH_2-$ , and their esters and amides, where hydrogen or hydroxymethyl can optionally stand in place of optionally protected free functional groups;

$R^7$  is hydrogen,  $(C_1-C_{18})$ -alkyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl,  $(C_1-C_{18})$ -alkylcarbonyl,  $(C_1-C_{18})$ -alkoxycarbonyl,  $(C_6-C_{14})$ -arylcarbonyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkylcarbonyl or  $(C_6-C_{14})$ -aryl- $(C_1-C_{18})$ -alkyloxycarbonyl, where the alkyl groups can optionally be substituted by an

amino group and/or where the aryl radicals can be mono-or polysubstituted by identical or different radicals selected from the group consisting of (C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>1</sub>-C<sub>8</sub>)-alkoxy, halogen, nitro, amino and trifluoromethyl, or is a natural or unnatural amino acid, imino acid, optionally N(C<sub>1</sub>-C<sub>8</sub>)-alkylated or N-((C<sub>6</sub>-C<sub>14</sub>)-aryl(C<sub>1</sub>-C<sub>8</sub>)-alkylated) azaamino acid or a dipeptide radical which can also be substituted in the aryl radical and/or in which the peptide bond can be reduced to -NH-CH<sub>2</sub>-;

R<sup>8</sup> is hydrogen, (C<sub>1</sub>-C<sub>18</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl which can also be substituted in the aryl radical;

R<sup>9</sup> is hydrogen, aminocarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylaminocarbonyl, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkylaminocarbonyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-arylaminocarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl or (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl;

R<sup>10</sup> is hydroxyl, (C<sub>1</sub>-C<sub>18</sub>)-alkoxy, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkoxy which can also be substituted in the aryl radical, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryloxy, amino or mono- or di-((C<sub>1</sub>-C<sub>18</sub>)-alkyl)amino;

R<sup>11</sup> is hydrogen, (C<sub>1</sub>-C<sub>18</sub>)-alkyl, R<sup>12</sup>CO, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl-S(O)<sub>2</sub>, (C<sub>1</sub>-C<sub>18</sub>)-alkyl-S(O)<sub>2</sub>, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical or R<sup>9</sup>NHS(O)<sub>2</sub>;

R<sup>12</sup> is hydrogen, (C<sub>1</sub>-C<sub>18</sub>)-alkyl, (C<sub>2</sub>-C<sub>8</sub>)-alkenyl, (C<sub>2</sub>-C<sub>8</sub>)-alkynyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>1</sub>-C<sub>18</sub>)-alkoxy, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkoxy which can also be substituted in the aryl radical, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryloxy, amino or mono- or di-((C<sub>1</sub>-C<sub>18</sub>)-alkyl)amino;

R<sup>13</sup> is hydrogen, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical or (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl;

R<sup>14</sup> is hydrogen or (C<sub>1</sub>-C<sub>28</sub>)-alkyl which can optionally be mono- or polysubstituted by identical or different radicals selected from the group consisting of hydroxyl,

hydroxycarbonyl, aminocarbonyl, mono- or di-((C<sub>1</sub>-C<sub>18</sub>)-alkyl)aminocarbonyl, amino-(C<sub>2</sub>-C<sub>18</sub>)-alkylaminocarbonyl, amino-(C<sub>1</sub>-C<sub>3</sub>)-alkylphenyl-(C<sub>1</sub>-C<sub>8</sub>)-alkylaminocarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylcarbonylamino-(C<sub>1</sub>-C<sub>3</sub>)-alkylphenyl-(C<sub>1</sub>-C<sub>3</sub>)-alkylaminocarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylcarbonylamino-(C<sub>2</sub>-C<sub>18</sub>)-alkylaminocarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkoxycarbonyl which can also be substituted in the aryl radical, amino, mercapto, (C<sub>1</sub>-C<sub>18</sub>)-alkoxy, (C<sub>1</sub>-C<sub>18</sub>)-alkoxycarbonyl, optionally substituted (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, HOS(O)<sub>2</sub>-(C<sub>1</sub>-C<sub>3</sub>)-alkyl, R<sup>9</sup>NHS(O)<sub>2</sub>-(C<sub>1</sub>-C<sub>3</sub>)-alkyl, (R<sup>8</sup>O)<sub>2</sub>P(O)-(C<sub>1</sub>-C<sub>3</sub>)-alkyl, tetrazolyl-(C<sub>1</sub>-C<sub>3</sub>)-alkyl, halogen, nitro, trifluoromethyl and R<sup>5</sup>;

R<sup>15</sup> is R<sup>16</sup>-(C<sub>1</sub>-C<sub>6</sub>)-alkyl or R<sup>16</sup>;

R<sup>16</sup> is a 6- to 24-membered bicyclic or tricyclic radical which is saturated or partially unsaturated and which can also contain one to four identical or different heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur and which can also be substituted by one or more identical or different substituents selected from the group consisting of (C<sub>1</sub>-C<sub>4</sub>)-alkyl and oxo;

b, c, and d independently of one another are 0 or 1, but cannot all simultaneously be 0; e, g and h independently of one another are 0, 1, 2, 3, 4, 5 or 6; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

58. (Twice Amended) The method as claimed in claim 22, wherein

B is a bivalent radical selected from the group consisting of methylene, ethylene, trimethylene, tetramethylene, vinylene, phenylene, or is substituted methylene or ethylene;

R is hydrogen, (C<sub>1</sub>-C<sub>6</sub>)-alkyl or benzyl;

R<sup>0</sup> is (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical;

R<sup>1</sup> is X-NH-C(=NH), X-NH-C(=NX)-NH or X-NH-CH<sub>2</sub>;

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cancel  
X is hydrogen, (C<sub>1</sub>-C<sub>6</sub>)-alkylcarbonyl, (C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl, (C<sub>1</sub>-C<sub>8</sub>)-alkylcarbonyloxy-(C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl or hydroxyl;

R<sup>2</sup> is hydrogen or (C<sub>1</sub>-C<sub>8</sub>)-alkyl;

R<sup>3</sup> is (C<sub>1</sub>-C<sub>8</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, (C<sub>2</sub>-C<sub>8</sub>)-alkenyl, (C<sub>2</sub>-C<sub>8</sub>)-alkynyl, pyridyl, R<sup>11</sup>NH, R<sup>4</sup>CO, COOR<sup>4</sup>, CONHR<sup>14</sup>, CSNHR<sup>14</sup>, COOR<sup>15</sup> and CONHR<sup>15</sup>;

and e, g and h independently of one another are the numbers 0, 1, 2 or 3; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

61. (Amended) The method as claimed in claim 60, wherein the radical of the  $\alpha$ -amino acid is selected from the group consisting of valine, lysine, phenylglycine, phenylalanine, tryptophan, and their (C<sub>1</sub>-C<sub>8</sub>)-alkyl esters or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>4</sub>)-alkyl esters; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

62. (Twice Amended) The method as claimed in claim 22, wherein

Z is N(R<sup>0</sup>);

A is ethylene, trimethylene, tetramethylene, pentamethylene, cyclohexylene, phenylene or phenylenemethyl;

B is an unsubstituted or substituted methylene radical;

D is C(R<sup>2</sup>)(R<sup>3</sup>);

R is hydrogen or (C<sub>1</sub>-C<sub>4</sub>)-alkyl;

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 $R^0$  is (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical;

$R^1$  is H<sub>2</sub>N-C(=NH), H<sub>2</sub>N-C(=NH)-NH or H<sub>2</sub>N-CH<sub>2</sub>;

$R^2$  is hydrogen;

$R^3$  is the radical CONHR<sup>14</sup>;

$R^{10}$  is hydroxyl or (C<sub>1</sub>-C<sub>8</sub>)-alkoxy;

$R^{13}$  is (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl or benzyl;

$R^{14}$  is methyl which is substituted by hydroxycarbonyl and a radical selected from the group consisting of (C<sub>1</sub>-C<sub>4</sub>)-alkyl, phenyl and benzyl, or is methyl which is substituted by (C<sub>1</sub>-C<sub>8</sub>)-alkoxycarbonyl and a radical selected from the group consisting of (C<sub>1</sub>-C<sub>4</sub>)-alkyl, phenyl and benzyl;

b, c and d are 1 and e and g are 0;

h is 1 or 2;

wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

63. (Twice Amended) The method as claimed in claim 22, wherein simultaneously W is R<sup>1</sup>-A-C(R<sup>13</sup>) and therein A is a bivalent radical selected from the group consisting of methylene, ethylene, trimethylene, tetramethylene, pentamethylene, cyclohexylene, phenylene, phenylenemethyl;

B is a bivalent radical selected from the group consisting of methylene, ethylene, trimethylene, tetramethylene, vinylene, phenylene or is substituted methylene or ethylene;

R is hydrogen or (C<sub>1</sub>-C<sub>6</sub>)-alkyl;

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 $R^0$  is (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical;

$R^1$  is X-NH-C(=NH), X-NH-C(=NX)-NH or X-NH-CH<sub>2</sub>;

X is hydrogen, (C<sub>1</sub>-C<sub>6</sub>)-alkylcarbonyl, (C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl, (C<sub>1</sub>-C<sub>8</sub>)-alkylcarbonyloxy-(C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl or hydroxyl;

$R^2$  is hydrogen or (C<sub>1</sub>-C<sub>8</sub>)-alkyl;

$R^3$  is CONHR<sup>15</sup> or CONHR<sup>14</sup> where R<sup>14</sup> herein is a (C<sub>1</sub>-C<sub>8</sub>)-alkyl radical which is unsubstituted or substituted by one or more (C<sub>6</sub>-C<sub>14</sub>)-aryl radicals;

$R^{15}$  is R<sup>16</sup>-(C<sub>1</sub>-C<sub>6</sub>)-alkyl or R<sup>16</sup>, where R<sup>16</sup> is a 7- to 12-membered bridged bicyclic or tricyclic radical which is saturated or partially unsaturated and which can also contain one to four identical or different heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur and which can also be substituted by one or more identical or different substituents selected from the group consisting of (C<sub>1</sub>-C<sub>4</sub>)-alkyl and oxo;

and e, g and h independently of one another are the numbers 0, 1, 2 or 3 and b, c and d are 1; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

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*9*  
66. (Twice Amended) The method as claimed in claim 22, wherein

A is ethylene, trimethylene, tetramethylene, pentamethylene, cyclohexylene, phenylene, phenylenemethyl;

B is an unsubstituted or substituted methylene radical or ethylene radical;

D is C(R<sup>2</sup>)(R<sup>3</sup>);

R is hydrogen or (C<sub>1</sub>-C<sub>4</sub>)-alkyl;

$R^0$  is (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl which is optionally substituted in the aryl radical;

$R^1$  is H<sub>2</sub>N-C(=NH), H<sub>2</sub>N-C(=NH)-NH or H<sub>2</sub>N-CH<sub>2</sub>;

$R^2$  is hydrogen;

$R^3$  is an unsubstituted phenyl radical or naphthyl radical, a phenyl radical or naphthyl radical substituted by one, two or three identical or different radicals selected from the group consisting of (C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy, hydroxyl, halogen, trifluoromethyl, nitro, methylenedioxy, ethylenedioxy, hydroxycarbonyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonyl, aminocarbonyl, cyano, phenyl, phenoxy, benzyl and benzyloxy, a pyridyl radical, a (C<sub>1</sub>-C<sub>4</sub>)-alkyl radical, a (C<sub>2</sub>-C<sub>4</sub>)-alkenyl radical, a (C<sub>2</sub>-C<sub>4</sub>)-alkynyl radical or a (C<sub>5</sub>-C<sub>6</sub>)-cycloalkyl radical;

$R^{10}$  is hydroxyl or (C<sub>1</sub>-C<sub>8</sub>)-alkoxy;

$R^{13}$  is (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl or benzyl;

b, c and d are 1 and e and g are 0;

h is 1 or 2;

wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

67. (Amended) The method as claimed in claim 22, wherein

A is ethylene, trimethylene, tetramethylene, pentamethylene, cyclohexylene, phenylene, phenylenemethyl;

B is an unsubstituted or substituted methylene radical or ethylene radical;

D is C(R<sup>2</sup>)(R<sup>3</sup>);

R is hydrogen or (C<sub>1</sub>-C<sub>4</sub>)-alkyl;

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*Cont'd*  
 $R^0$  is (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical;

$R^1$  is H<sub>2</sub>N-C(=NH), H<sub>2</sub>N-C(=NH)-NH or H<sub>2</sub>N-CH<sub>2</sub>;

$R^2$  is hydrogen;

$R^3$  is R<sup>11</sup>NH;

$R^{10}$  is hydroxyl or (C<sub>1</sub>-C<sub>8</sub>)-alkoxy;

$R^{13}$  is (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl or benzyl;

b, c, d and e are 1 and g is 0;

h is 0;

wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

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71. (Twice Amended) The method as claimed in claim 23, wherein

A is a bivalent radical selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)-alkylene, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkylene, phenylene, phenylene-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkylenepheryl, phenylene-(C<sub>2</sub>-C<sub>6</sub>)-alkenyl or a bivalent radical of a 5- or 6-membered saturated or unsaturated ring which can contain 1 or 2 nitrogen atoms and can be mono- or disubstituted by (C<sub>1</sub>-C<sub>6</sub>)-alkyl or doubly bonded oxygen or sulfur;

B is a bivalent radical selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)-alkylene, (C<sub>2</sub>-C<sub>6</sub>)-alkenylene, phenylene, phenylene-(C<sub>1</sub>-C<sub>3</sub>)-alkyl, (C<sub>1</sub>-C<sub>3</sub>)-alkylene-phenyl;

D is C(R<sup>2</sup>)(R<sup>3</sup>), N(R<sup>3</sup>) or CH=C(R<sup>3</sup>);

R is hydrogen, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical;

R<sup>0</sup> is (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical;

R<sup>1</sup> is X-NH-C(=NH)-(CH<sub>2</sub>)<sub>p</sub> or X<sup>1</sup>-NH-(CH<sub>2</sub>)<sub>p</sub>, where p is 0, 1, 2 or 3;

X is hydrogen, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkylcarbonyl, (C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylcarbonyloxy-(C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-arylcarbonyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryloxycarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl which can also be substituted in the aryl radical, (R<sup>8</sup>O)<sub>2</sub>P(O), cyano, hydroxyl, (C<sub>1</sub>-C<sub>6</sub>)-alkoxy, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>6</sub>)-alkoxy which can also be substituted in the aryl radical, or amino;

X<sup>1</sup> is optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-arylcarbonyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryloxycarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl optionally substituted in the aryl radical, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>6</sub>)-alkoxy optionally substituted in the aryl radical, or is R'-NH-C(=N-R'') where R' and R'' independently of one another have the meanings of X;

R<sup>2</sup> is hydrogen, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical or (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl;

R<sup>3</sup> is hydrogen, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, optionally substituted in the aryl radical, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, (C<sub>2</sub>-C<sub>8</sub>)-alkenyl, (C<sub>2</sub>-C<sub>8</sub>)-alkynyl, (C<sub>2</sub>-C<sub>8</sub>)-alkenylcarbonyl, (C<sub>2</sub>-C<sub>8</sub>)-alkynylcarbonyl, pyridyl, R<sup>11</sup>NH, R<sup>4</sup>CO, COOR<sup>4</sup>, CON(CH<sub>3</sub>)R<sup>14</sup>, CONHR<sup>14</sup>, CSNHR<sup>14</sup>, COOR<sup>15</sup>, CON(CH<sub>3</sub>)R<sup>15</sup> or CONHR<sup>15</sup>;

R<sup>4</sup> is hydrogen or (C<sub>1</sub>-C<sub>28</sub>)-alkyl which can optionally be mono- or polysubstituted by identical or different radicals R<sup>4'</sup>;

R<sup>4'</sup> is hydroxyl, hydroxycarbonyl, aminocarbonyl, mono- or di-((C<sub>1</sub>-C<sub>18</sub>)-alkyl)aminocarbonyl, amino-(C<sub>2</sub>-C<sub>18</sub>)-alkylaminocarbonyl, amino-(C<sub>1</sub>-C<sub>3</sub>)-alkylphenyl-(C<sub>1</sub>-

C<sub>3</sub>)-alkylaminocarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylcarbonylamino-(C<sub>1</sub>-C<sub>3</sub>)-alkylphenyl-(C<sub>1</sub>-C<sub>3</sub>)-alkylaminocarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylcarbonylamino-(C<sub>2</sub>-C<sub>18</sub>)-alkylaminocarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkoxycarbonyl which can also be substituted in the aryl radical, amino, mercapto, (C<sub>1</sub>-C<sub>18</sub>)-alkoxy, (C<sub>1</sub>-C<sub>18</sub>)-alkoxycarbonyl, optionally substituted (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, halogen, nitro, trifluoromethyl or the radical R<sup>5</sup>;

FG  
Center  
R<sup>5</sup> is optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical, a mono- or bicyclic 5- to 12-membered heterocyclic ring which can be aromatic, partially hydrogenated or completely hydrogenated and which can contain one, two or three identical or different heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur, a radical R<sup>6</sup> or a radical R<sup>6</sup>CO-, where the aryl radical and, independently thereof, the heterocyclic radical can be mono- or polysubstituted by identical or different radicals selected from the group consisting of (C<sub>1</sub>-C<sub>18</sub>)-alkyl, (C<sub>1</sub>-C<sub>18</sub>)-alkoxy, halogen, nitro, amino or trifluoromethyl;

R<sup>6</sup> is R<sup>7</sup>R<sup>8</sup>N, R<sup>7</sup>O or R<sup>7</sup>S or an amino acid side chain, a natural or unnatural amino acid, imino acid, optionally N-(C<sub>1</sub>-C<sub>8</sub>)-alkylated or N-((C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkylated) azaamino acid or a dipeptide radical which can also be substituted in the aryl radical and/or in which the peptide bond can be reduced to -NH-CH<sub>2</sub>-, and their esters and amides, where hydrogen or hydroxymethyl can optionally stand in place of optionally protected free functional groups;

R<sup>7</sup> is hydrogen, (C<sub>1</sub>-C<sub>18</sub>)-alkyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylcarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkoxycarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-arylcarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkylcarbonyl or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>18</sub>)-alkyloxycarbonyl, where the alkyl groups can optionally be substituted by an amino group and/or where the aryl radicals can be mono- or polysubstituted by identical or different radicals selected from the group consisting of (C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>1</sub>-C<sub>8</sub>)-alkoxy, halogen, nitro, amino and trifluoromethyl, or is a natural or unnatural amino acid, imino acid, optionally N-(C<sub>1</sub>-C<sub>8</sub>)-alkylated or N-((C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkylated) azaamino acid or a dipeptide radical which can also be substituted in the aryl radical and/or in which the peptide bond can be reduced to -NH-CH<sub>2</sub>-;

R<sup>8</sup> is hydrogen, (C<sub>1</sub>-C<sub>18</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl which can also be substituted in the aryl radical;

R<sup>9</sup> is hydrogen, aminocarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylaminocarbonyl, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkylaminocarbonyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-arylaminocarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl or (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl;

R<sup>10</sup> is hydroxyl, (C<sub>1</sub>-C<sub>18</sub>)-alkoxy, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkoxy which can also be substituted in the aryl radical, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryloxy, amino or mono- or di-((C<sub>1</sub>-C<sub>18</sub>)-alkyl)amino;

R<sup>11</sup> is hydrogen, (C<sub>1</sub>-C<sub>18</sub>)-alkyl, R<sup>12</sup>CO, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl-S(O)<sub>2</sub>, (C<sub>1</sub>-C<sub>18</sub>)-alkyl-S(O)<sub>2</sub>, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical or R<sup>9</sup>NHS(O)<sub>2</sub>;

R<sup>12</sup> is hydrogen, (C<sub>1</sub>-C<sub>18</sub>)-alkyl, (C<sub>2</sub>-C<sub>8</sub>)-alkenyl, (C<sub>2</sub>-C<sub>8</sub>)-alkynyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>1</sub>-C<sub>18</sub>)-alkoxy, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkoxy which can also be substituted in the aryl radical, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryloxy, amino or mono- or di-((C<sub>1</sub>-C<sub>18</sub>)-alkyl)amino;

R<sup>13</sup> is hydrogen, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical or (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl;

R<sup>14</sup> is hydrogen or (C<sub>1</sub>-C<sub>28</sub>)-alkyl which can optionally be mono- or polysubstituted by identical or different radicals selected from the group consisting of hydroxyl, hydroxycarbonyl, aminocarbonyl, mono- or di-((C<sub>1</sub>-C<sub>18</sub>)-alkyl)aminocarbonyl, amino-(C<sub>2</sub>-C<sub>18</sub>)-alkylaminocarbonyl, amino-(C<sub>1</sub>-C<sub>3</sub>)-alkylphenyl-(C<sub>1</sub>-C<sub>8</sub>)-alkylaminocarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylcarbonylamino-(C<sub>1</sub>-C<sub>3</sub>)-alkylphenyl-(C<sub>1</sub>-C<sub>3</sub>)-alkylaminocarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylcarbonylamino-(C<sub>2</sub>-C<sub>18</sub>)-alkylaminocarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkoxycarbonyl which can also be substituted in the aryl radical, amino, mercapto, (C<sub>1</sub>-C<sub>18</sub>)-alkoxy, (C<sub>1</sub>-C<sub>18</sub>)-alkoxycarbonyl, optionally substituted (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, HOS(O)<sub>2</sub>-(C<sub>1</sub>-C<sub>3</sub>)-alkyl,

$R^9NHS(O)_2-(C_1-C_3)\text{-alkyl}$ ,  $(R^8O)_2P(O)\text{-(C}_1\text{-C}_3\text{)-alkyl}$ , tetrazolyl- $(C_1-C_3)\text{-alkyl}$ , halogen, nitro, trifluoromethyl and  $R^5$ ;

$R^{15}$  is  $R^{16}\text{-(C}_1\text{-C}_6\text{)-alkyl}$  or  $R^{16}$ ;

$R^{16}$  is a 6- to 24-membered bicyclic or tricyclic radical which is saturated or partially unsaturated and which can also contain one to four identical or different heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur and which can also be substituted by one or more identical or different substituents selected from the group consisting of  $(C_1-C_4)\text{-alkyl}$  and oxo;

b, c, and d independently of one another are 0 or 1, but cannot all simultaneously be 0; e, g and h independently of one another are 0, 1, 2, 3, 4, 5 or 6; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

74. (Twice Amended) The method as claimed in claim 23, wherein

B is a bivalent radical selected from the group consisting of methylene, ethylene, trimethylene, tetramethylene, vinylene, phenylene, or is substituted methylene or ethylene;

R is hydrogen,  $(C_1-C_6)\text{-alkyl}$  or benzyl;

$R^0$  is  $(C_3-C_8)\text{-cycloalkyl}$ , optionally substituted  $(C_6-C_{14})\text{-aryl}$  or  $(C_6-C_{14})\text{-aryl-(C}_1\text{-C}_8\text{)-alkyl}$  optionally substituted in the aryl radical;

$R^1$  is  $X\text{-NH-C(=NH)}$ ,  $X\text{-NH-C(=NX)-NH}$  or  $X^1\text{-NH-CH}_2$ ;

$X^1$  is optionally substituted  $(C_6-C_{14})\text{-arylcarbonyl}$ , optionally substituted  $(C_6-C_{14})\text{-aryloxycarbonyl}$ ,  $(C_6-C_{14})\text{-aryl-(C}_1\text{-C}_6\text{)-alkoxycarbonyl}$  optionally substituted in the aryl radical,  $(C_6-C_{14})\text{-aryl-(C}_1\text{-C}_6\text{)-alkoxy}$  optionally substituted in the aryl radical, or  $R'\text{-NH-C(=N-R'')}$ , where  $R'$  and  $R''$  independently of one another have the meanings of X;

*F10*  
*Conzel*  
X is hydrogen, (C<sub>1</sub>-C<sub>6</sub>)-alkylcarbonyl, (C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl, (C<sub>1</sub>-C<sub>8</sub>)-alkylcarbonyloxy-(C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl or hydroxyl;

R<sup>2</sup> is hydrogen or (C<sub>1</sub>-C<sub>8</sub>)-alkyl;

R<sup>3</sup> is (C<sub>1</sub>-C<sub>8</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, (C<sub>2</sub>-C<sub>8</sub>)-alkenyl, (C<sub>2</sub>-C<sub>8</sub>)-alkynyl, pyridyl, R<sup>11</sup>NH, R<sup>4</sup>CO, COOR<sup>4</sup>, CONHR<sup>14</sup>, CSNHR<sup>14</sup>, COOR<sup>15</sup> and CONHR<sup>15</sup>;

and e, g and h independently of one another are the numbers 0, 1, 2 or 3; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

*F11*  
77. (Amended) The method as claimed in claim 76, wherein the radical of the  $\alpha$ -amino acid is selected from the group consisting of valine, lysine, phenylglycine, phenylalanine, tryptophan, and their (C<sub>1</sub>-C<sub>8</sub>)-alkyl esters or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>4</sub>)-alkyl esters; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

78. (Twice Amended) The method as claimed in claim 23, wherein

Z is N(R<sup>0</sup>);

A is ethylene, trimethylene, tetramethylene, pentamethylene, cyclohexylene, phenylene or phenylenemethyl;

B is an unsubstituted or substituted methylene radical;

D is C(R<sup>2</sup>)(R<sup>3</sup>);

R is hydrogen or (C<sub>1</sub>-C<sub>4</sub>)-alkyl;

$R^0$  is (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical;

$R^1$  is H<sub>2</sub>N-C(=NH), H<sub>2</sub>N-C(=NH)-NH or H<sub>2</sub>N-CH<sub>2</sub>;

$R^2$  is hydrogen;

$R^3$  is the radical CONHR<sup>14</sup>;

$R^{10}$  is hydroxyl or (C<sub>1</sub>-C<sub>8</sub>)-alkoxy;

$R^{13}$  is (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl or benzyl;

$R^{14}$  is methyl which is substituted by hydroxycarbonyl and a radical selected from the group consisting of (C<sub>1</sub>-C<sub>4</sub>)-alkyl, phenyl and benzyl, or is methyl which is substituted by (C<sub>1</sub>-C<sub>8</sub>)-alkoxycarbonyl and a radical selected from the group consisting of (C<sub>1</sub>-C<sub>4</sub>)-alkyl, phenyl and benzyl;

b, c and d are 1 and e and g are 0;

h is 1 or 2;

wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

79. (Twice Amended) The method as claimed in claim 23, wherein simultaneously W is R<sup>1</sup>-A-C(R<sup>13</sup>) and therein A is a bivalent radical selected from the group consisting of methylene, ethylene, trimethylene, tetramethylene, pentamethylene, cyclohexylene, phenylene, phenylenemethyl;

B is a bivalent radical selected from the group consisting of methylene, ethylene, trimethylene, tetramethylene, vinylene, phenylene or is substituted methylene or ethylene;

R is hydrogen or (C<sub>1</sub>-C<sub>6</sub>)-alkyl;

$R^0$  is (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical;

$R^1$  is X-NH-C(=NH), X-NH-C(=NX)-NH or X<sup>1</sup>-NH-CH<sub>2</sub>;

*File  
Cont'd*  
 $X^1$  is optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-arylcarbonyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryloxycarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl optionally substituted in the aryl radical, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>6</sub>)-alkoxy optionally substituted in the aryl radical, or R'-NH-C(=N-R''), where R' and R'' independently of one another have the meanings of X;

X is hydrogen, (C<sub>1</sub>-C<sub>6</sub>)-alkylcarbonyl, (C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl, (C<sub>1</sub>-C<sub>8</sub>)-alkylcarbonyloxy-(C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl or hydroxyl;

$R^2$  is hydrogen or (C<sub>1</sub>-C<sub>8</sub>)-alkyl;

$R^3$  is CONHR<sup>15</sup> or CONHR<sup>14</sup> where R<sup>14</sup> herein is a (C<sub>1</sub>-C<sub>8</sub>)-alkyl radical which is unsubstituted or substituted by one or more (C<sub>6</sub>-C<sub>14</sub>)-aryl radicals;

$R^{15}$  is R<sup>16</sup>-(C<sub>1</sub>-C<sub>6</sub>)-alkyl or R<sup>16</sup>, where R<sup>16</sup> is a 7- to 12-membered bridged bicyclic or tricyclic radical which is saturated or partially unsaturated and which can also contain one to four identical or different heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur and which can also be substituted by one or more identical or different substituents selected from the group consisting of (C<sub>1</sub>-C<sub>4</sub>)-alkyl and oxo;

and e, g and h independently of one another are the numbers 0, 1, 2 or 3 and b, c and d are 1; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

80. (Amended) The method as claimed in claim 79, wherein R<sup>15</sup> is an adamantyl radical or an adamantylmethyl radical; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

82. (Twice Amended) The method as claimed in claim 23, wherein

112  
A is ethylene, trimethylene, tetramethylene, pentamethylene, cyclohexylene, phenylene, phenylenemethyl;

B is an unsubstituted or substituted methylene radical or ethylene radical;

D is  $C(R^2)(R^3)$ ;

R is hydrogen or  $(C_1-C_4)$ -alkyl;

$R^0$  is  $(C_3-C_8)$ -cycloalkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl which is optionally substituted in the aryl radical;

$R^1$  is  $H_2N-C(=NH)$ ,  $H_2N-C(=NH)-NH$  or  $H_2N-CH_2$ ;

$R^2$  is hydrogen;

$R^3$  is an unsubstituted phenyl radical or naphthyl radical, a phenyl radical or naphthyl radical substituted by one, two or three identical or different radicals selected from the group consisting of  $(C_1-C_4)$ -alkyl,  $(C_1-C_4)$ -alkoxy, hydroxyl, halogen, trifluoromethyl, nitro, methylenedioxy, ethylenedioxy, hydroxycarbonyl,  $(C_1-C_4)$ -alkoxycarbonyl, aminocarbonyl, cyano, phenyl, phenoxy, benzyl and benzyloxy, a pyridyl radical, a  $(C_1-C_4)$ -alkyl radical, a  $(C_2-C_4)$ -alkenyl radical, a  $(C_2-C_4)$ -alkynyl radical or a  $(C_5-C_6)$ -cycloalkyl radical;

$R^{10}$  is hydroxyl or  $(C_1-C_8)$ -alkoxy;

$R^{13}$  is  $(C_1-C_6)$ -alkyl,  $(C_3-C_7)$ -cycloalkyl or benzyl;

b, c and d are 1 and e and g are 0;

h is 1 or 2;

wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

113  
86. (Amended) The method as claimed in claim 23, in which B is an unsubstituted methylene radical or a methylene radical which is substituted by a (C<sub>1</sub>-C<sub>6</sub>)-alkyl radical; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

87. (Twice Amended) The method as claimed in claim 24, wherein

A is a bivalent radical selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)-alkylene, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkylene, phenylene, phenylene-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkylenepheryl, phenylene-(C<sub>2</sub>-C<sub>6</sub>)-alkenyl or a bivalent radical of a 5- or 6-membered saturated or unsaturated ring which can contain 1 or 2 nitrogen atoms and can be mono- or disubstituted by (C<sub>1</sub>-C<sub>6</sub>)-alkyl or doubly bonded oxygen or sulfur;

B is a bivalent radical selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)-alkylene, (C<sub>2</sub>-C<sub>6</sub>)-alkenylene, phenylene, phenylene-(C<sub>1</sub>-C<sub>3</sub>)-alkyl, (C<sub>1</sub>-C<sub>3</sub>)-alkylene-phenyl;

D is C(R<sup>2</sup>)(R<sup>3</sup>), N(R<sup>3</sup>) or CH=C(R<sup>3</sup>);

R is hydrogen, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical;

R<sup>0</sup> is (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical;

R<sup>1</sup> is X-NH-C(=NH)-(CH<sub>2</sub>)<sub>p</sub> or X<sup>1</sup>-NH-(CH<sub>2</sub>)<sub>p</sub>, where p is 0, 1, 2 or 3;

X is hydrogen, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkylcarbonyl, (C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylcarbonyloxy-(C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-arylcarbonyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryloxycarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl which can also be substituted in the aryl radical, (R<sup>8</sup>O)<sub>2</sub>P(O), cyano, hydroxyl, (C<sub>1</sub>-C<sub>6</sub>)-alkoxy, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>6</sub>)-alkoxy which can also be substituted in the aryl radical, or amino;

$X^1$  has one of the meanings of  $X$  or is  $R'-NH-C(=N-R'')$  where  $R'$  and  $R''$  independently of one another have the meanings of  $X$ ;

$R^2$  is hydrogen,  $(C_1-C_8)$ -alkyl, optionally substituted  $(C_6-C_{14})$ -aryl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical or  $(C_3-C_8)$ -cycloalkyl;

$R^3$  is hydrogen,  $(C_1-C_8)$ -alkyl, optionally substituted  $(C_6-C_{14})$ -aryl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl, optionally substituted in the aryl radical,  $(C_3-C_8)$ -cycloalkyl,  $(C_2-C_8)$ -alkenyl,  $(C_2-C_8)$ -alkynyl,  $(C_2-C_8)$ -alkenylcarbonyl,  $(C_2-C_8)$ -alkynylcarbonyl, pyridyl,  $R^{11}NH$ ,  $R^4CO$ ,  $COOR^4$ ,  $CON(CH_3)R^{14}$ ,  $CONHR^{14}$ ,  $CSNHR^{14}$ ,  $COOR^{15}$ ,  $CON(CH_3)R^{15}$  or  $CONHR^{15}$ ;

$R^4$  is hydrogen or  $(C_1-C_{28})$ -alkyl which can optionally be mono- or polysubstituted by identical or different radicals  $R^{4'}$ ;

$R^{4'}$  is hydroxyl, hydroxycarbonyl, aminocarbonyl, mono- or di- $((C_1-C_{18})$ -alkyl)aminocarbonyl, amino- $(C_2-C_{18})$ -alkylaminocarbonyl, amino- $(C_1-C_3)$ -alkylphenyl- $(C_1-C_3)$ -alkylaminocarbonyl,  $(C_1-C_{18})$ -alkylcarbonylamino- $(C_1-C_3)$ -alkylphenyl- $(C_1-C_3)$ -alkylaminocarbonyl,  $(C_1-C_{18})$ -alkylcarbonylamino- $(C_2-C_{18})$ -alkylaminocarbonyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkoxycarbonyl which can also be substituted in the aryl radical, amino, mercapto,  $(C_1-C_{18})$ -alkoxy,  $(C_1-C_{18})$ -alkoxycarbonyl, optionally substituted  $(C_3-C_8)$ -cycloalkyl, halogen, nitro, trifluoromethyl or the radical  $R^5$ ;

$R^5$  is optionally substituted  $(C_6-C_{14})$ -aryl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical, a mono- or bicyclic 5- to 12-membered heterocyclic ring which can be aromatic, partially hydrogenated or completely hydrogenated and which can contain one, two or three identical or different heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur, a radical  $R^6$  or a radical  $R^6CO-$ , where the aryl radical and, independently thereof, the heterocyclic radical can be mono- or polysubstituted by identical or different radicals selected from the group consisting of  $(C_1-C_{18})$ -alkyl,  $(C_1-C_{18})$ -alkoxy, halogen, nitro, amino or trifluoromethyl;

$R^6$  is  $R^7R^8N$ ,  $R^7O$  or  $R^7S$  or an amino acid side chain, a natural or unnatural amino acid, imino acid, optionally N-( $C_1-C_8$ )-alkylated or N-(( $C_6-C_{14}$ )-aryl-( $C_1-C_8$ )-alkylated) azaamino acid or a dipeptide radical which can also be substituted in the aryl radical and/or in which the peptide bond can be reduced to  $-NH-CH_2-$ , and their esters and amides, where hydrogen or hydroxymethyl can optionally stand in place of optionally protected free functional groups;

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conty*  
 $R^7$  is hydrogen, ( $C_1-C_{18}$ )-alkyl, ( $C_6-C_{14}$ )-aryl-( $C_1-C_8$ )-alkyl, ( $C_1-C_{18}$ )-alkylcarbonyl, ( $C_1-C_{18}$ )-alkoxycarbonyl, ( $C_6-C_{14}$ )-arylcarbonyl, ( $C_6-C_{14}$ )-aryl-( $C_1-C_3$ )-alkylcarbonyl or ( $C_6-C_{14}$ )-aryl-( $C_1-C_{18}$ )-alkyloxycarbonyl, where the alkyl groups can optionally be substituted by an amino group and/or where the aryl radicals can be mono-or polysubstituted by identical or different radicals selected from the group consisting of ( $C_1-C_8$ )-alkyl, ( $C_1-C_8$ )-alkoxy, halogen, nitro, amino and trifluoromethyl, or is a natural or unnatural amino acid, imino acid, optionally N( $C_1-C_8$ )-alkylated or N-(( $C_6-C_{14}$ )-aryl( $C_1-C_8$ )-alkylated) azaamino acid or a dipeptide radical which can also be substituted in the aryl radical and/or in which the peptide bond can be reduced to  $-NH-CH_2-$ ;

$R^8$  is hydrogen, ( $C_1-C_{18}$ )-alkyl, optionally substituted ( $C_6-C_{14}$ )-aryl or ( $C_6-C_{14}$ )-aryl-( $C_1-C_8$ )-alkyl which can also be substituted in the aryl radical;

$R^9$  is hydrogen, aminocarbonyl, ( $C_1-C_{18}$ )-alkylaminocarbonyl, ( $C_3-C_8$ )-cycloalkylaminocarbonyl, optionally substituted ( $C_6-C_{14}$ )-arylaminocarbonyl, ( $C_1-C_{18}$ )-alkyl, optionally substituted ( $C_6-C_{14}$ )-aryl or ( $C_3-C_8$ )-cycloalkyl;

$R^{10}$  is hydroxyl, ( $C_1-C_{18}$ )-alkoxy, ( $C_6-C_{14}$ )-aryl-( $C_1-C_8$ )-alkoxy which can also be substituted in the aryl radical, optionally substituted ( $C_6-C_{14}$ )-aryloxy, amino or mono- or di-(( $C_1-C_{18}$ )-alkyl)amino;

$R^{11}$  is hydrogen, ( $C_1-C_{18}$ )-alkyl,  $R^{12}CO$ , optionally substituted ( $C_6-C_{14}$ )-aryl- $S(O)_2$ , ( $C_1-C_{18}$ )-alkyl- $S(O)_2$ , ( $C_6-C_{14}$ )-aryl-( $C_1-C_8$ )-alkyl optionally substituted in the aryl radical or  $R^9NHS(O)_2$ ;

$R^{12}$  is hydrogen, ( $C_1-C_{18}$ )-alkyl, ( $C_2-C_8$ )-alkenyl, ( $C_2-C_8$ )-alkynyl, optionally substituted ( $C_6-C_{14}$ )-aryl, ( $C_1-C_{18}$ )-alkoxy, ( $C_6-C_{14}$ )-aryl-( $C_1-C_8$ )-alkoxy which can also be substituted in

the aryl radical, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryloxy, amino or mono- or di-((C<sub>1</sub>-C<sub>18</sub>)-alkyl)amino;

R<sup>13</sup> is hydrogen, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical or (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl;

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R<sup>14</sup> is hydrogen or (C<sub>1</sub>-C<sub>28</sub>)-alkyl which can optionally be mono- or polysubstituted by identical or different radicals selected from the group consisting of hydroxyl, hydroxycarbonyl, aminocarbonyl, mono- or di-((C<sub>1</sub>-C<sub>18</sub>)-alkyl)aminocarbonyl, amino-(C<sub>2</sub>-C<sub>18</sub>)-alkylaminocarbonyl, amino-(C<sub>1</sub>-C<sub>3</sub>)-alkylphenyl-(C<sub>1</sub>-C<sub>8</sub>)-alkylaminocarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylcarbonylamino-(C<sub>1</sub>-C<sub>3</sub>)-alkylphenyl-(C<sub>1</sub>-C<sub>3</sub>)-alkylaminocarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylcarbonylamino-(C<sub>2</sub>-C<sub>18</sub>)-alkylaminocarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkoxycarbonyl which can also be substituted in the aryl radical, amino, mercapto, (C<sub>1</sub>-C<sub>18</sub>)-alkoxy, (C<sub>1</sub>-C<sub>18</sub>)-alkoxycarbonyl, optionally substituted (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, HOS(O)<sub>2</sub>-(C<sub>1</sub>-C<sub>3</sub>)-alkyl, R<sup>9</sup>NHS(O)<sub>2</sub>-(C<sub>1</sub>-C<sub>3</sub>)-alkyl, (R<sup>8</sup>O)<sub>2</sub>P(O)-(C<sub>1</sub>-C<sub>3</sub>)-alkyl, tetrazolyl-(C<sub>1</sub>-C<sub>3</sub>)-alkyl, halogen, nitro, trifluoromethyl and R<sup>5</sup>;

R<sup>15</sup> is R<sup>16</sup>-(C<sub>1</sub>-C<sub>6</sub>)-alkyl or R<sup>16</sup>;

R<sup>16</sup> is a 6- to 24-membered bicyclic or tricyclic radical which is saturated or partially unsaturated and which can also contain one to four identical or different heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur and which can also be substituted by one or more identical or different substituents selected from the group consisting of (C<sub>1</sub>-C<sub>4</sub>)-alkyl and oxo;

b, c, and d independently of one another are 0 or 1, but cannot all simultaneously be 0; e, g and h independently of one another are 0, 1, 2, 3, 4, 5 or 6; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

F14  
89. (Amended) The method as claimed in claim 88, wherein R<sup>0</sup> is biphenylmethyl, naphthylmethyl or benzyl each of which is unsubstituted or monosubstituted or polysubstituted in the aryl radical; wherein said compound or compounds may be present in

all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

F15  
90. (Twice Amended) The method as claimed in claim 24, wherein

B is a bivalent radical selected from the group consisting of methylene, ethylene, trimethylene, tetramethylene, vinylene, phenylene, or is substituted methylene or ethylene;

R is hydrogen, (C<sub>1</sub>-C<sub>6</sub>)-alkyl or benzyl;

R<sup>0</sup> is (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical;

R<sup>1</sup> is X-NH-C(=NH), X-NH-C(=NX)-NH or X-NH-CH<sub>2</sub> ;

X is hydrogen, (C<sub>1</sub>-C<sub>6</sub>)-alkylcarbonyl, (C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl, (C<sub>1</sub>-C<sub>8</sub>)-alkylcarbonyloxy-(C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl or hydroxyl;

R<sup>2</sup> is hydrogen or (C<sub>1</sub>-C<sub>8</sub>)-alkyl;

R<sup>3</sup> is (C<sub>1</sub>-C<sub>8</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, (C<sub>2</sub>-C<sub>8</sub>)-alkenyl, (C<sub>2</sub>-C<sub>8</sub>)-alkynyl, pyridyl, R<sup>11</sup>NH, R<sup>4</sup>CO, COOR<sup>4</sup>, CONHR<sup>14</sup>, CSNHR<sup>14</sup>, COOR<sup>15</sup> and CONHR<sup>15</sup>;

and e, g and h independently of one another are the numbers 0, 1, 2 or 3; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

F16  
93. (Amended) The method as claimed in claim 92, wherein the radical of the α-amino acid is selected from the group consisting of valine, lysine, phenylglycine, phenylalanine, tryptophan, and their (C<sub>1</sub>-C<sub>8</sub>)-alkyl esters or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>4</sub>)-alkyl esters; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

94. (Twice Amended) The method as claimed in claim 24, wherein

Z is N(R<sup>0</sup>);

A is ethylene, trimethylene, tetramethylene, pentamethylene, cyclohexylene, phenylene or phenylenemethyl;

B is an unsubstituted or substituted methylene radical;

D is  $C(R^2)(R^3)$ ;

R is hydrogen or  $(C_1-C_4)$ -alkyl;

R<sup>0</sup> is  $(C_3-C_8)$ -cycloalkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical;

R<sup>1</sup> is  $H_2N-C(=NH)$ ,  $H_2N-C(=NH)-NH$  or  $H_2N-CH_2$ ;

R<sup>2</sup> is hydrogen;

R<sup>3</sup> is the radical  $CONHR^{14}$ ;

R<sup>10</sup> is hydroxyl or  $(C_1-C_8)$ -alkoxy;

R<sup>13</sup> is  $(C_1-C_6)$ -alkyl,  $(C_3-C_7)$ -cycloalkyl or benzyl;

R<sup>14</sup> is methyl which is substituted by hydroxycarbonyl and a radical selected from the group consisting of  $(C_1-C_4)$ -alkyl, phenyl and benzyl, or is methyl which is substituted by  $(C_1-C_8)$ -alkoxycarbonyl and a radical selected from the group consisting of  $(C_1-C_4)$ -alkyl, phenyl and benzyl;

b, c and d are 1 and e and g are 0;

h is 1 or 2;

wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

95. (Twice Amended) The method as claimed in claim 24, wherein simultaneously W is  $R^1-A-C(R^{13})$  and therein A is a bivalent radical selected from the group consisting of

methylene, ethylene, trimethylene, tetramethylene, pentamethylene, cyclohexylene, phenylene, phenylenemethyl;

B is a bivalent radical selected from the group consisting of methylene, ethylene, trimethylene, tetramethylene, vinylene, phenylene or is substituted methylene or ethylene;

R is hydrogen or (C<sub>1</sub>-C<sub>6</sub>)-alkyl;

R<sup>0</sup> is (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical;

R<sup>1</sup> is X-NH-C(=NH), X-NH-C(=NX)-NH or X-NH-CH<sub>2</sub>;

X is hydrogen, (C<sub>1</sub>-C<sub>6</sub>)-alkylcarbonyl, (C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl, (C<sub>1</sub>-C<sub>8</sub>)-alkylcarbonyloxy-(C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl or hydroxyl;

R<sup>2</sup> is hydrogen or (C<sub>1</sub>-C<sub>8</sub>)-alkyl;

R<sup>3</sup> is CONHR<sup>15</sup> or CONHR<sup>14</sup> where R<sup>14</sup> herein is a (C<sub>1</sub>-C<sub>8</sub>)-alkyl radical which is unsubstituted or substituted by one or more (C<sub>6</sub>-C<sub>14</sub>)-aryl radicals;

R<sup>15</sup> is R<sup>16</sup>-(C<sub>1</sub>-C<sub>6</sub>)-alkyl or R<sup>16</sup>, where R<sup>16</sup> is a 7- to 12-membered bridged bicyclic or tricyclic radical which is saturated or partially unsaturated and which can also contain one to four identical or different heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur and which can also be substituted by one or more identical or different substituents selected from the group consisting of (C<sub>1</sub>-C<sub>4</sub>)-alkyl and oxo;

and e, g and h independently of one another are the numbers 0, 1, 2 or 3 and b, c and d are 1; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

96. (Amended) The method as claimed in claim 95, wherein R<sup>15</sup> is an adamantyl radical or an adamantylmethyl radical; wherein said compound or compounds may be present

in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

98. (Twice Amended) The method as claimed in claim 24, wherein

A is ethylene, trimethylene, tetramethylene, pentamethylene, cyclohexylene, phenylene, phenylenemethyl;

B is an unsubstituted or substituted methylene radical or ethylene radical;

D is  $C(R^2)(R^3)$ ;

R is hydrogen or  $(C_1-C_4)$ -alkyl;

$R^0$  is  $(C_3-C_8)$ -cycloalkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl which is optionally substituted in the aryl radical;

$R^1$  is  $H_2N-C(=NH)$ ,  $H_2N-C(=NH)-NH$  or  $H_2N-CH_2$ ;

$R^2$  is hydrogen;

$R^3$  is an unsubstituted phenyl radical or naphthyl radical, a phenyl radical or naphthyl radical substituted by one, two or three identical or different radicals selected from the group consisting of  $(C_1-C_4)$ -alkyl,  $(C_1-C_4)$ -alkoxy, hydroxyl, halogen, trifluoromethyl, nitro, methylenedioxy, ethylenedioxy, hydroxycarbonyl,  $(C_1-C_4)$ -alkoxycarbonyl, aminocarbonyl, cyano, phenyl, phenoxy, benzyl and benzyloxy, a pyridyl radical, a  $(C_1-C_4)$ -alkyl radical, a  $(C_2-C_4)$ -alkenyl radical, a  $(C_2-C_4)$ -alkynyl radical or a  $(C_5-C_6)$ -cycloalkyl radical;

$R^{10}$  is hydroxyl or  $(C_1-C_8)$ -alkoxy;

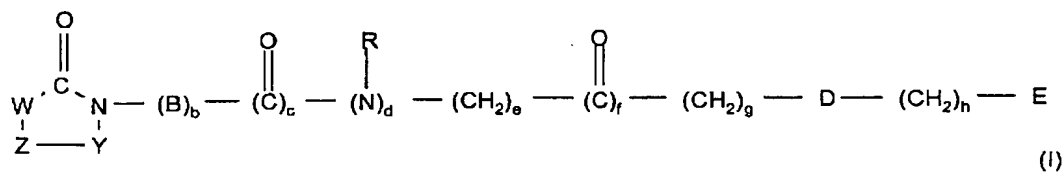
$R^{13}$  is  $(C_1-C_6)$ -alkyl,  $(C_3-C_7)$ -cycloalkyl or benzyl;

b, c and d are 1 and e and g are 0;

h is 1 or 2;

wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

103. (Amended) A method for treating melanoma, comprising administering to a subject in need thereof an effective amount of a preparation comprising an effective amount of at least one compound of the formula I:



in which

W is R<sup>1</sup>-A-C(R<sup>13</sup>);

Y is a carbonyl;

Z is N(R<sup>0</sup>);

A is a bivalent radical selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)-alkylene, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkylene, phenylene, phenylene-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkylenephenyl, phenylene-(C<sub>2</sub>-C<sub>6</sub>)-alkenyl or a bivalent radical of a 5- or 6-membered saturated or unsaturated ring which can contain 1 or 2 nitrogen atoms and can be mono- or disubstituted by (C<sub>1</sub>-C<sub>6</sub>)-alkyl or doubly bonded oxygen or sulfur;

B is a bivalent radical selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)-alkylene, (C<sub>2</sub>-C<sub>6</sub>)-alkenylene, phenylene, phenylene-(C<sub>1</sub>-C<sub>3</sub>)-alkyl, (C<sub>1</sub>-C<sub>3</sub>)-alkylenephenyl, where the bivalent (C<sub>1</sub>-C<sub>6</sub>)-alkylene radical can be unsubstituted or substituted by a radical selected from the group consisting of (C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>2</sub>-C<sub>8</sub>)-alkenyl, (C<sub>2</sub>-C<sub>8</sub>)-alkynyl, (C<sub>3</sub>-C<sub>10</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>6</sub>)-alkyl optionally substituted in the aryl radical, optionally substituted heteroaryl and heteroaryl (C<sub>1</sub>-C<sub>6</sub>)-alkyl optionally substituted in the heteroaryl radical;

D is  $C(R^2)(R^3)$ ,  $N(R^3)$  or  $CH=C(R^3)$ ;

E is  $R^{10}CO$ ;

R is hydrogen,  $(C_1-C_8)$ -alkyl,  $(C_3-C_8)$ -cycloalkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical;

$R^0$  is  $(C_3-C_{12})$ -cycloalkyl,  $(C_3-C_{12})$ -cycloalkyl- $(C_1-C_8)$ -alkyl,  $(C_6-C_{12})$ -bicycloalkyl,  $(C_6-C_{12})$ -bicycloalkyl- $(C_1-C_8)$ -alkyl,  $(C_6-C_{12})$ -tricycloalkyl,  $(C_6-C_{12})$ -tricycloalkyl- $(C_1-C_8)$ -alkyl, optionally substituted  $(C_6-C_{14})$ -aryl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical, optionally substituted heteroaryl, heteroaryl- $(C_1-C_8)$ -alkyl optionally substituted in the heteroaryl radical,  $CHO$ ,  $(C_1-C_8)$ -alkyl- $CO$ ,  $(C_3-C_{12})$ -cycloalkyl- $CO$ ,  $(C_3-C_{12})$ -cycloalkyl- $(C_1-C_8)$ -alkyl- $CO$ ,  $(C_6-C_{12})$ -bicycloalkyl- $CO$ ,  $(C_6-C_{12})$ -bicycloalkyl- $(C_1-C_8)$ -alkyl- $CO$ ,  $(C_6-C_{12})$ -tricycloalkyl- $CO$ ,  $(C_6-C_{12})$ -tricycloalkyl- $(C_1-C_8)$ -alkyl- $CO$ , optionally substituted  $(C_6-C_{14})$ -aryl- $CO$ ,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl- $CO$  optionally substituted in the aryl radical, optionally substituted heteroaryl- $CO$ , heteroaryl- $(C_1-C_8)$ -alkyl- $CO$  optionally substituted in the heteroaryl radical,  $(C_1-C_8)$ -alkyl- $S(O)_n$ ,  $(C_3-C_{12})$ -cycloalkyl- $S(O)_n$ ,  $(C_3-C_{12})$ -cycloalkyl- $(C_1-C_8)$ -alkyl- $S(O)_n$ ,  $(C_6-C_{12})$ -bicycloalkyl- $S(O)_n$ ,  $(C_6-C_{12})$ -bicycloalkyl- $(C_1-C_8)$ -alkyl- $S(O)_n$ ,  $(C_6-C_{12})$ -tricycloalkyl- $S(O)_n$ ,  $(C_6-C_{12})$ -tricycloalkyl- $(C_1-C_8)$ -alkyl- $S(O)_n$ , optionally substituted  $(C_6-C_{14})$ -aryl- $S(O)_n$ ,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl- $S(O)_n$  optionally substituted in the aryl radical, optionally substituted heteroaryl- $S(O)_n$  or heteroaryl- $(C_1-C_8)$ -alkyl- $S(O)_n$  optionally substituted in the heteroaryl radical, where  $n$  is 1 or 2;

$R^1$  is  $X-NH-C(=NH)-(CH_2)_p$  or  $X^1-NH-(CH_2)_p$ , where  $p$  is 0, 1, 2 or 3;

X is hydrogen,  $(C_1-C_6)$ -alkyl,  $(C_1-C_6)$ -alkylcarbonyl,  $(C_1-C_6)$ -alkoxycarbonyl,  $(C_1-C_{18})$ -alkylcarbonyloxy,  $(C_1-C_6)$ -alkoxycarbonyl, optionally substituted  $(C_6-C_{14})$ -arylcarbonyl, optionally substituted  $(C_6-C_{14})$ -aryloxycarbonyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_6)$ -alkoxycarbonyl which can also be substituted in the aryl radical,  $(R^8O)_2P(O)$ , cyano, hydroxyl,  $(C_1-C_6)$ -alkoxy,  $(C_6-C_{14})$ -aryl- $(C_1-C_6)$ -alkoxy which can also be substituted in the aryl radical, or amino;

$X^1$  is optionally substituted  $(C_6-C_{14})$ -arylcarbonyl, optionally substituted  $(C_6-C_{14})$ -aryloxycarbonyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_6)$ -alkoxycarbonyl optionally substituted in the aryl radical,  $(C_6-C_{14})$ -aryl- $(C_1-C_6)$ -alkoxy optionally substituted in the aryl radical, or is  $R'-NH-C(=N-R'')$ , where  $R'$  and  $R''$  independently of one another have the meanings of X;

$R^2$  is hydrogen, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical or (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl;

$R^3$  is hydrogen, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, (C<sub>2</sub>-C<sub>8</sub>)-alkenyl, (C<sub>2</sub>-C<sub>8</sub>)-alkynyl, (C<sub>2</sub>-C<sub>8</sub>)-alkenylcarbonyl, (C<sub>2</sub>-C<sub>8</sub>)-alkynylcarbonyl, pyridyl,  $R^{11}NH$ ,  $R^4CO$ ,  $COOR^4$ ,  $CON(CH_3)R^{14}$ ,  $CONHR^{14}$ ,  $CSNHR^{14}$ ,  $COOR^{15}$ ,  $CON(CH_3)R^{15}$  or  $CONHR^{15}$ ;

$R^4$  is hydrogen or (C<sub>1</sub>-C<sub>28</sub>)-alkyl which can optionally be mono- or polysubstituted by identical or different radicals  $R^{4'}$ ;  $R^{4'}$  is hydroxyl, hydroxycarbonyl, aminocarbonyl, mono- or di-((C<sub>1</sub>-C<sub>18</sub>))-alkylaminocarbonyl, amino-(C<sub>2</sub>-C<sub>18</sub>)-alkylaminocarbonyl, amino-(C<sub>1</sub>-C<sub>3</sub>)-alkylphenyl-(C<sub>1</sub>-C<sub>3</sub>)-alkylaminocarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylcarbonylamino-(C<sub>1</sub>-C<sub>3</sub>)-alkylphenyl-(C<sub>1</sub>-C<sub>3</sub>)-alkylaminocarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylcarbonylamino-(C<sub>2</sub>-C<sub>18</sub>)-alkylaminocarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkoxycarbonyl which can also be substituted in the aryl radical, amino, mercapto, (C<sub>1</sub>-C<sub>18</sub>)-alkoxy, (C<sub>1</sub>-C<sub>18</sub>)-alkoxycarbonyl, optionally substituted (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, halogen, nitro, trifluoromethyl or the radical  $R^5$ ;

$R^5$  is optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical, a mono- or bicyclic 5- to 12-membered heterocyclic ring which can be aromatic, partially hydrogenated or completely hydrogenated and which can contain one, two or three identical or different heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur, a radical  $R^6$  or a radical  $R^6CO$ -where the aryl radical and, independently thereof, the heterocyclic radical can be mono- or polysubstituted by identical or different radicals selected from the group consisting of (C<sub>1</sub>-C<sub>18</sub>)-alkyl, (C<sub>1</sub>-C<sub>18</sub>)-alkoxy, Halogen, nitro, amino and trifluoromethyl;

$R^6$  is  $R^7R^8N$ ,  $R^7O$  or  $R^7S$  or an amino acid side chain, a natural or unnatural amino acid, imino acid, optionally N-(C<sub>1</sub>-C<sub>8</sub>)-alkylated or N-((C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkylated) azaamino acid or a dipeptide radical which can also be substituted in the aryl radical and/or in which the peptide bond can be reduced to -NH-CH<sub>2</sub>-, and their esters and amides, where hydrogen or hydroxymethyl can optionally stand in place optionally protected free functional groups;

R<sup>7</sup> is hydrogen, (C<sub>1</sub>-C<sub>18</sub>)-alkyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylcarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkoxycarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-arylcarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkylcarbonyl or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>18</sub>)-alkyloxycarbonyl, where the alkyl groups can optionally be substituted by an amino group and/or where the aryl radicals can be mono- or polysubstituted by identical or different radicals selected from the group consisting of (C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>1</sub>-C<sub>8</sub>)-alkoxy, halogen, nitro, amino and trifluoromethyl, or is a natural or unnatural amino acid, imino acid, optionally N-(C<sub>1</sub>-C<sub>8</sub>)-alkylated or N-((C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkylated) azaamino acid or a dipeptide radical which can also be substituted in the aryl radical and/or in which the peptide bond can be reduced to -NH-CH<sub>2</sub>-;

R<sup>8</sup> is hydrogen, (C<sub>1</sub>-C<sub>18</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl which can also be substituted in the aryl radical;

R<sup>9</sup> is hydrogen, aminocarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylaminocarbonyl, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkylaminocarbonyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-arylaminocarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl or (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl;

R<sup>10</sup> is hydroxyl, (C<sub>1</sub>-C<sub>18</sub>)-alkoxy, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkoxy which can also be substituted in the aryl radical, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryloxy, amino or mono- or di-((C<sub>1</sub>-C<sub>18</sub>)-alkyl)amino;

R<sup>11</sup> is hydrogen (C<sub>1</sub>-C<sub>18</sub>)-alkyl, R<sup>12</sup>CO, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl-S(O)<sub>2</sub>, (C<sub>1</sub>-C<sub>18</sub>)-alkyl-S(O)<sub>2</sub>, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical or R<sup>9</sup>NHS(O)<sub>2</sub>;

R<sup>12</sup> is hydrogen (C<sub>1</sub>-C<sub>18</sub>)-alkyl, (C<sub>2</sub>-C<sub>8</sub>)-alkenyl, (C<sub>2</sub>-C<sub>8</sub>)-alkynyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>1</sub>-C<sub>18</sub>)-alkoxy, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkoxy which can also be substituted in the aryl radical, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryloxy, amino or mono- or di-((C<sub>1</sub>-C<sub>18</sub>)-alkyl)amino;

R<sup>13</sup> is hydrogen, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical or (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl;

R<sup>14</sup> is hydrogen or (C<sub>1</sub>-C<sub>28</sub>)-alkyl which can optionally be mono- or polysubstituted by identical or different radicals selected from the group consisting of hydroxyl,

hydroxycarbonyl, aminocarbonyl, mono- or di-((C<sub>1</sub>-C<sub>18</sub>)-alkyl)-aminocarbonyl, amino-(C<sub>2</sub>-C<sub>18</sub>)-alkylaminocarbonyl, amino-(C<sub>1</sub>-C<sub>3</sub>)-alkylphenyl-(C<sub>1</sub>-C<sub>3</sub>)-alkylaminocarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylcarbonylamino-(C<sub>1</sub>-C<sub>3</sub>)-alkylphenyl-(C<sub>1</sub>-C<sub>3</sub>)-alkylaminocarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylcarbonyl-amino-(C<sub>2</sub>-C<sub>18</sub>)-alkylaminocarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>18</sub>)-alkoxycarbonyl which can also be substituted in the aryl radical, amino, mercapto, (C<sub>1</sub>-C<sub>18</sub>)-alkoxy, (C<sub>1</sub>-C<sub>18</sub>)-alkoxycarbonyl, optionally substituted (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, HOS(O)<sub>2</sub>-(C<sub>1</sub>-C<sub>3</sub>)-alkyl, R<sup>9</sup>NHS(O)<sub>2</sub>-(C<sub>1</sub>-C<sub>3</sub>)-alkyl, (R<sup>8</sup>O)<sub>2</sub>P(O)-(C<sub>1</sub>-C<sub>3</sub>)-alkyl, tetrazolyl-(C<sub>1</sub>-C<sub>3</sub>)-alkyl, halogen, nitro, trifluoromethyl and R<sup>5</sup>,

R<sup>15</sup> is R<sup>16</sup>-(C<sub>1</sub>-C<sub>6</sub>)-alkyl or R<sup>16</sup>;

R<sup>16</sup> is a 6- to 24-membered bicyclic or tricyclic radical which is saturated or partially unsaturated and which can also contain one to four identical or different heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur and which can also be substituted by one or more identical or different substituents selected from the group consisting of (C<sub>1</sub>-C<sub>4</sub>)-alkyl and oxo;

b, c, and d are 1;

e is 0, 1, 2, 3, 4, 5 or 6;

f is 0;

g is 0, 1, 2, 3, 4, 5 or 6;

h is 0, 1, 2, 3, 4, 5 or 6;

in all their stereoisomeric forms and mixtures thereof in any ratio; and of their physiologically tolerable salts.